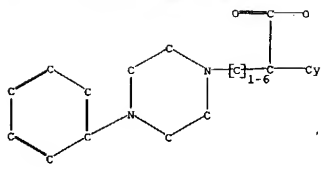

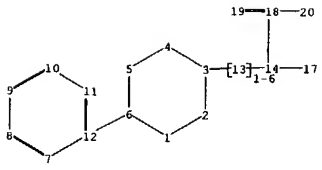

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ring nodes :
1 2 3 4 5 6 7 8 9 10 11 12
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ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-12 8-9 9-10 10-11 11-12
exact/norm bonds :
1-2 1-6 2-3 3-4 3-13 4-5 5-6 6-12 14-17 18-19 18-20
exact bonds :
13-14 14-18
normalized bonds :
7-8 7-12 8-9 9-10 10-11 11-12

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:Atom
12:Atom 13:CLASS 14:CLASS 17:Atom 18:CLASS 19:CLASS 20:CLASS

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=> s l1
SAMPLE SEARCH INITIATED 17:54:40 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -   1232 TO ITERATE

 81.2% PROCESSED    1000 ITERATIONS                                 4 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:        22535 TO    26745
PROJECTED ANSWERS:               4 TO      231

L2             4 SEA SSS SAM L1

=> s l1 sss full
FULL SEARCH INITIATED 17:54:46 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -  24706 TO ITERATE

100.0% PROCESSED   24706 ITERATIONS                               62 ANSWERS
SEARCH TIME: 00.00.01

L3            62 SEA SSS FUL L1

=> file caplus
COST IN U.S. DOLLARS                          SINCE FILE      TOTAL
                                                 ENTRY    SESSION
FULL ESTIMATED COST                             155.42     156.26

FILE 'CAPLUS' ENTERED AT 17:54:54 ON 15 APR 2004
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FILE COVERS 1907 - 15 Apr 2004  VOL 140 ISS 16
FILE LAST UPDATED: 14 Apr 2004  (20040414/ED)

  This file contains CAS Registry Numbers for easy and accurate
  substance identification.


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L4            21 L3

=> d l4 1-21 bib abs hitstr
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L4 ANSWER 1 OF 21 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2002:185098 CAPLUS
DN 136:247608
TI Preparation of piperidinyl-, piperazinyl-, and homopiperazinylpolyarylcarboxamides as lipid lowering agents
IN Meerpoel, Lieven; Roevens, Peter Walter Maria; Backx, Leo Jacobus Jozef; Van der Veken, Louis Jozef Elisabeth; Viellevoye, Marcel
PA Janssen Pharmaceutica N.V., Belg.
SO PCT Int. Appl., 105 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002020501	A2	20020314	WO 2001-EP9926	20010827
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	AU 2002010468	A5	20020322	AU 2002-10468	20010827
	EP 1317431	A2	20030611	EP 2001-978313	20010827
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	BG 107581	A	20031128	BG 2003-107581	20030221
	US 2004014971	A1	20040122	US 2003-363665	20030228
	NO 2003001001	A	20030304	NO 2003-1001	20030304
	HR 2003000156	A1	20030430	HR 2003-156	20030304
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OS MARPAT 136:247608
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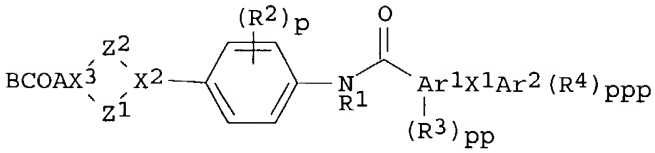

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AB Title compds. [I; Z1 = (CH2)n, CH2CH2O; n = 1-3; Z2 = (CH2)m; m = 1, 2; X1 = O, CH2, CO, NH, CH2O, CH2S, bond; X2, X3 = CH, N, C; R1 = H, alkyl; Ar1, Ar2 = (substituted) Ph, naphthalenyl, pyridinyl, pyrazinyl, pyrimidinyl, pyridazinyl, triazinyl, triazolyl, imidazolyl, pyrazolyl, thiazolyl, isothiazolyl, oxazolyl, pyrrolyl, furyl, thienyl; R2, R3 = alkyl, alkoxy, halo, CF3; R4 = alkyl, alkoxy, halo, OH, SH, cyano, NO2, alkylthio, polyhaloalkyl, amino, alkylamino, dialkylamino; p, pp = 0-2; ppp = 0-3; X1, R4 taken together with Ar1 and Ar2 to which they are attached = fluoren-1-yl, fluoren-4-yl; A = alkanediyl substituted with 1-2 aryl, heteroaryl, cycloalkyl; when X3 = CH, A may also = N substituted with H,

alkyl, aryl, heteroaryl, arylalkyl, heteroarylalkyl, cycloalkyl; B = H, alkyl, aralkyl, heteroarylalkyl, (substituted) aryl, heteroaryl, etc.], and N-oxides thereof, were prepared Thus, 4'-trifluoromethylbiphenyl-2-carboxylic acid was stirred 2 h with (COCl)2 in CH2Cl2 containing DMF; the resulting mixture was added to a mixture prepared from 4-(4-aminophenyl)-α-Ph-N-(2,2,2-trifluoroethyl)-1-piperazineacetamide (preparation given) and Et3N in CH2Cl2 under ice/salt cooling followed by stirring and reflux for 2 days to give N-[4-[4-[2-oxo-1-phenyl-2-[(2,2,2-trifluoroethyl)amino]ethyl]-1-piperazinyl]phenyl]-4'-(trifluoromethyl)[1,1'-biphenyl]-2-carboxamide. The latter inhibited microsomal triglyceride transfer protein (MTP) activity with pIC50 = 7.864.

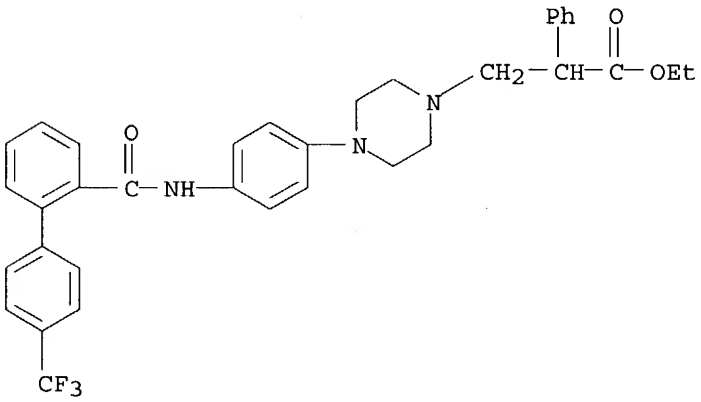
IT **403987-37-1P**

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of piperidinyl-, piperazinyl-, and homopiperazinylpolyarylcarboxamides as lipid lowering agents)

RN 403987-37-1 CAPLUS

CN 1-Piperazinepropanoic acid, α-phenyl-4-[4-[[[4'-(trifluoromethyl)[1,1'-biphenyl]-2-yl]carbonyl]amino]phenyl]-, ethyl ester (9CI) (CA INDEX NAME)



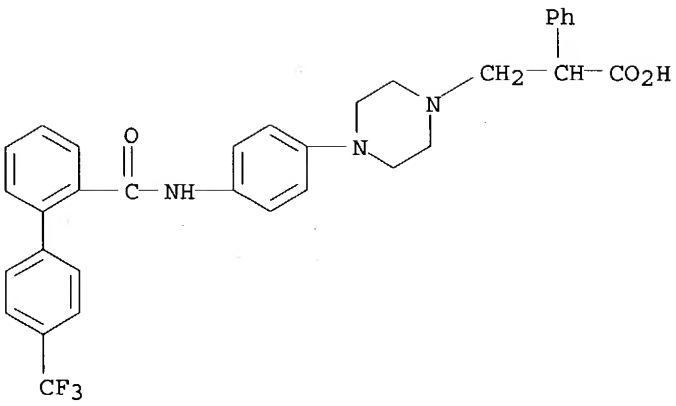
IT **403987-75-7P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of piperidinyl-, piperazinyl-, and homopiperazinylpolyarylcarboxamides as lipid lowering agents)

RN 403987-75-7 CAPLUS

CN 1-Piperazinepropanoic acid, α-phenyl-4-[4-[[[4'-(trifluoromethyl)[1,1'-biphenyl]-2-yl]carbonyl]amino]phenyl]- (9CI) (CA INDEX NAME)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N
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C
NH
CF3

L4 ANSWER 2 OF 21 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2001:136796 CAPLUS
DN 134:193445
TI Preparation of arylpiperazinylpentanoates and -hexanoates as microsomal triglyceride transfer protein inhibitors.
IN Lehmann-Lintz, Thorsten; Heckel, Armin; Thomas, Leo; Mark, Michael
PA Boehringer Ingelheim Pharma KG, Germany
SO Ger. Offen., 24 pp.
CODEN: GWXXBX
DT Patent
LA German
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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	WO 2001014355	A1	20010301	WO 2000-EP7976	20000816
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OS MARPAT 134:193445
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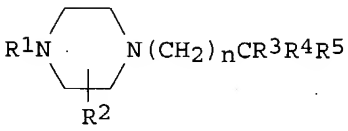
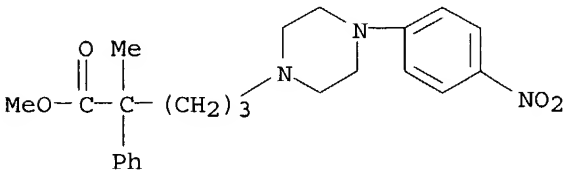


I

AB Title compds. [I; R1 = (substituted) Ph; R2 = H, alkyl; R3 = H, (substituted) alkyl, cycloalkyl, cycloalkylalkyl, Ph, naphthyl, heteroaryl; R4 = (substituted) Ph, naphthyl, heteroaryl; R5 = CO2H, (substituted) alkoxycarbonyl, cycloalkoxycarbonyl, etc.; n = 3-5], were prepared as MTP inhibitors for reduction of plasma concentration of atherogenic lipoproteins (no data). Thus, 1-(4-nitrophenyl)piperazine, Me 5-bromo-2-methyl-2-phenylpentanoate, H2O and K2CO3 in MeCN were stirred for 6 h at 60° to give Me 2-methyl-2-phenyl-5-[4-(4-nitrophenyl)piperazin-1-yl]pentanoate, which was hydrogenated over Pd/C in EtOAc/MeOH to give 91.7% Me 2-methyl-2-phenyl-5-[4-(4-aminophenyl)piperazin-1-yl]pentanoate.
IT **327030-25-1P 327030-26-2P 327030-33-1P**
327030-35-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological

study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of arylpiperazinylpentanoates and -hexanoates as microsomal triglyceride transfer protein inhibitors)

RN 327030-25-1 CAPLUS
CN 1-Piperazinepentanoic acid, α-methyl-4-(4-nitrophenyl)-α-phenyl-, methyl ester (9CI) (CA INDEX NAME)



RN 327030-26-2 CAPLUS
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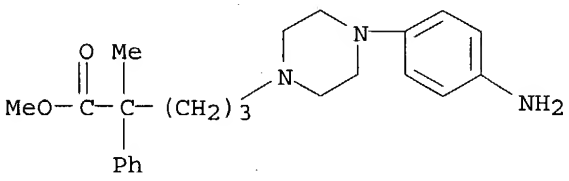

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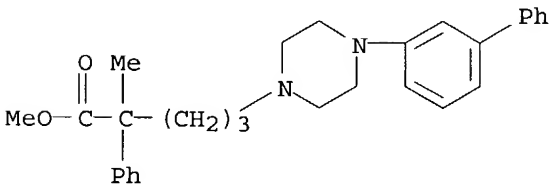

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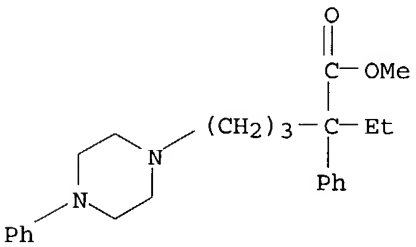

IT **327030-05-7P 327030-08-0P 327030-09-1P**
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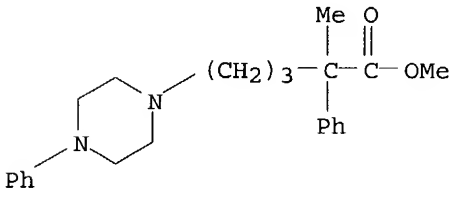
327030-13-7P 327030-14-8P 327030-15-9P
327030-16-0P 327030-17-1P 327030-18-2P
327030-19-3P 327030-20-6P 327030-21-7P
327030-22-8P 327030-23-9P 327030-24-0P
327030-27-3P 327030-28-4P 327030-29-5P
327030-30-8P 327030-31-9P 327030-36-4P
327030-37-5P 327030-38-6P 327030-42-2P
327030-43-3P 327030-46-6P 327030-47-7P
327030-48-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of arylpiperazinylpentanoates and -hexanoates as microsomal triglyceride transfer protein inhibitors)

RN 327030-05-7 CAPLUS
CN 1-Piperazinepentanoic acid, α-methyl-α,4-diphenyl-, methyl ester (9CI) (CA INDEX NAME)



RN 327030-08-0 CAPLUS
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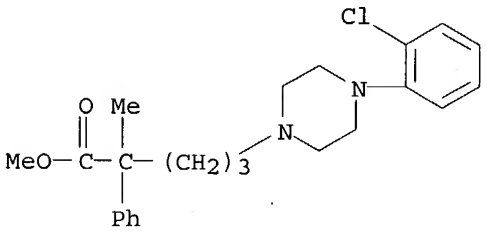

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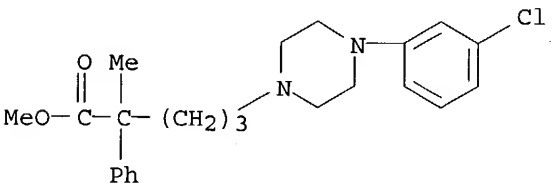

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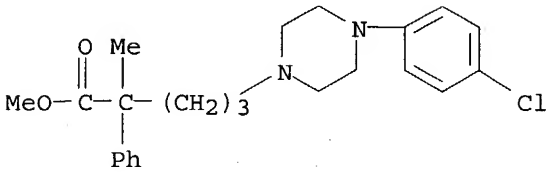

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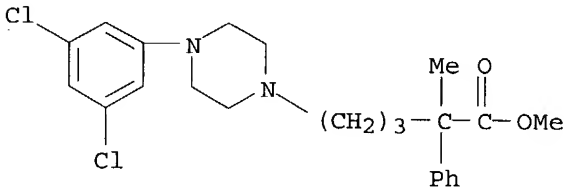

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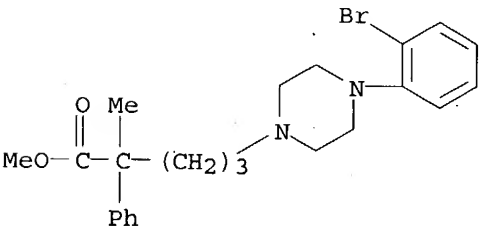

RN 327030-13-7 CAPLUS
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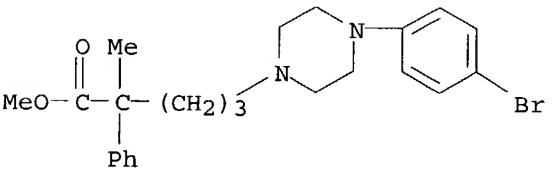
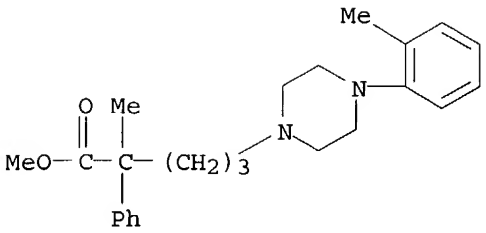


RN 327030-14-8 CAPLUS
CN 1-Piperazinepentanoic acid, α-methyl-4-(2-methylphenyl)-α-phenyl-, methyl ester (9CI) (CA INDEX NAME)



RN 327030-15-9 CAPLUS
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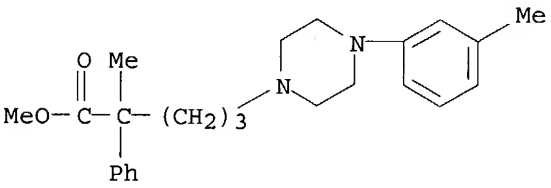

RN 327030-16-0 CAPLUS
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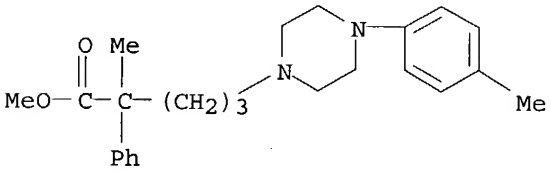
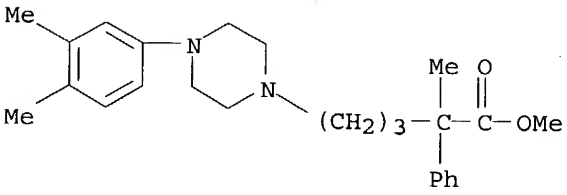


RN 327030-17-1 CAPLUS
CN 1-Piperazinepentanoic acid, 4-(3,4-dimethylphenyl)-α-methyl-α-phenyl-, methyl ester (9CI) (CA INDEX NAME)



RN 327030-18-2 CAPLUS
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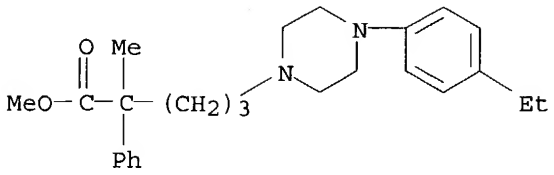

RN 327030-19-3 CAPLUS
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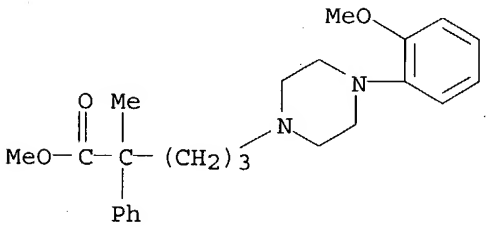
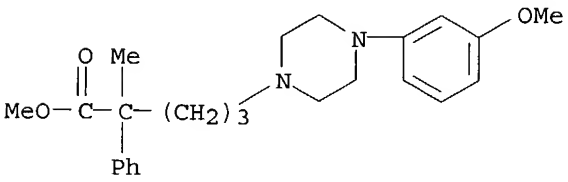
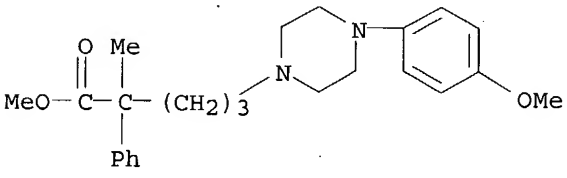


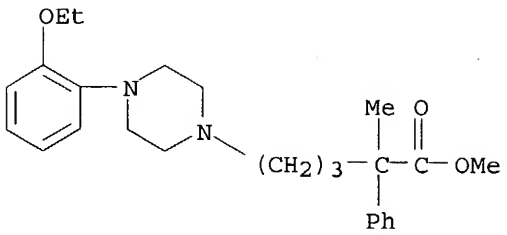
RN 327030-20-6 CAPLUS
CN 1-Piperazinepentanoic acid, 4-(3-methoxyphenyl)-α-methyl-α-phenyl-, methyl ester (9CI) (CA INDEX NAME)



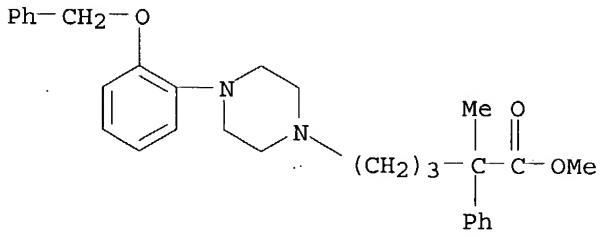
RN 327030-21-7 CAPLUS
CN 1-Piperazinepentanoic acid, 4-(4-methoxyphenyl)-α-methyl-α-phenyl-, methyl ester (9CI) (CA INDEX NAME)



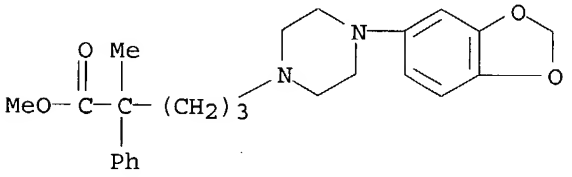
RN 327030-22-8 CAPLUS
CN 1-Piperazinepentanoic acid, 4-(2-ethoxyphenyl)-α-methyl-α-phenyl-, methyl ester (9CI) (CA INDEX NAME)



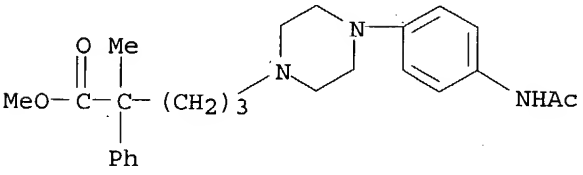
RN 327030-23-9 CAPLUS
CN 1-Piperazinepentanoic acid, α-methyl-α-phenyl-4-[2-(phenylmethoxy)phenyl]-, methyl ester (9CI) (CA INDEX NAME)



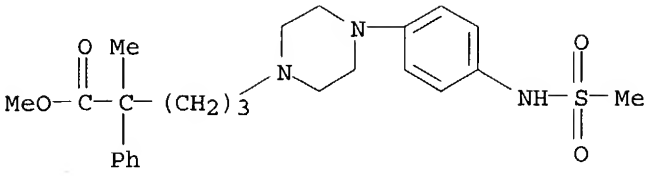
RN 327030-24-0 CAPLUS
CN 1-Piperazinepentanoic acid, 4-(1,3-benzodioxol-5-yl)-α-methyl-α-phenyl-, methyl ester (9CI) (CA INDEX NAME)



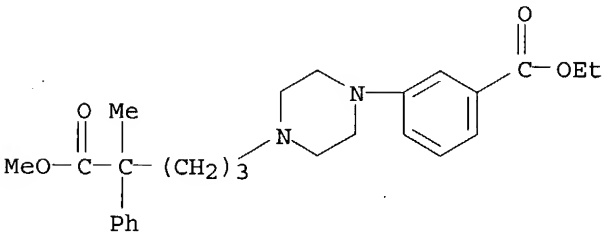
RN 327030-27-3 CAPLUS
CN 1-Piperazinepentanoic acid, 4-[4-(acetylamino)phenyl]-α-methyl-α-phenyl-, methyl ester (9CI) (CA INDEX NAME)



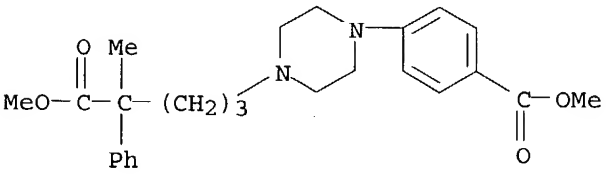
RN 327030-28-4 CAPLUS
CN 1-Piperazinepentanoic acid, α-methyl-4-[4-[(methylsulfonyl)amino]phenyl]-α-phenyl-, methyl ester (9CI) (CA INDEX NAME)



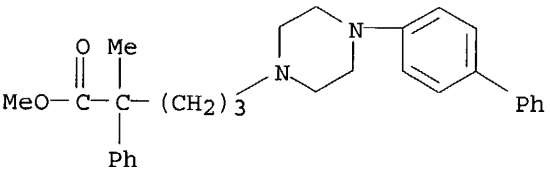
RN 327030-29-5 CAPLUS
CN 1-Piperazinepentanoic acid, 4-[3-(ethoxycarbonyl)phenyl]-α-methyl-α-phenyl-, methyl ester (9CI) (CA INDEX NAME)



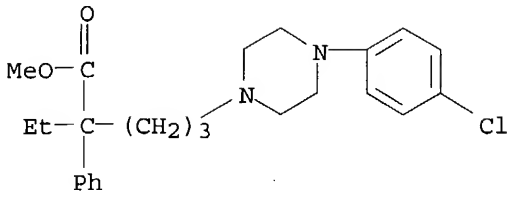
RN 327030-30-8 CAPLUS
CN 1-Piperazinepentanoic acid, 4-[4-(methoxycarbonyl)phenyl]-α-methyl-α-phenyl-, methyl ester (9CI) (CA INDEX NAME)



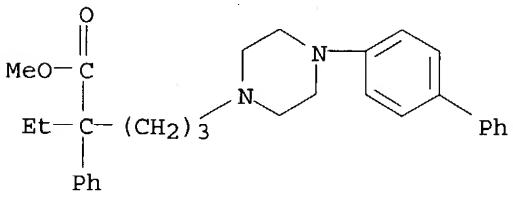
RN 327030-31-9 CAPLUS
CN 1-Piperazinepentanoic acid, 4-[1,1'-biphenyl]-4-yl-α-methyl-α-phenyl-, methyl ester (9CI) (CA INDEX NAME)



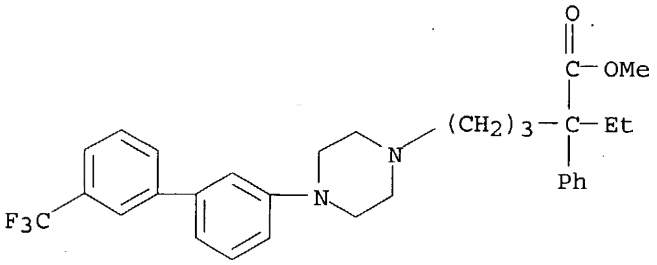
RN 327030-36-4 CAPLUS
CN 1-Piperazinepentanoic acid, 4-(4-chlorophenyl)-α-ethyl-α-phenyl-, methyl ester (9CI) (CA INDEX NAME)



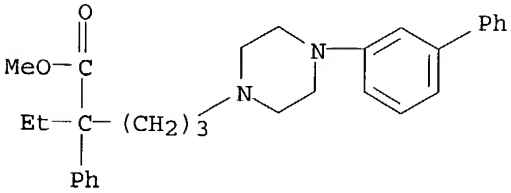
RN 327030-37-5 CAPLUS
CN 1-Piperazinepentanoic acid, 4-[1,1'-biphenyl]-4-yl-α-ethyl-α-phenyl-, methyl ester (9CI) (CA INDEX NAME)



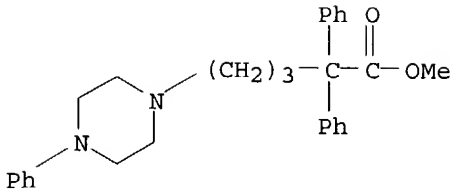
RN 327030-38-6 CAPLUS
CN 1-Piperazinepentanoic acid, α-ethyl-α-phenyl-4-[3'-(trifluoromethyl)[1,1'-biphenyl]-3-yl]-, methyl ester (9CI) (CA INDEX NAME)



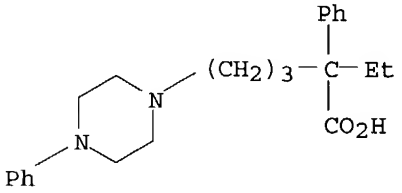
RN 327030-42-2 CAPLUS
CN 1-Piperazinepentanoic acid, 4-[1,1'-biphenyl]-3-yl-α-ethyl-α-phenyl-, methyl ester (9CI) (CA INDEX NAME)



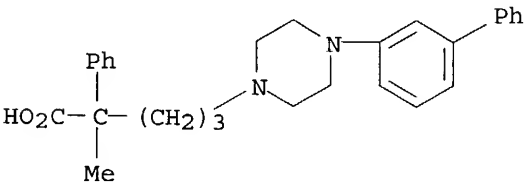
RN 327030-43-3 CAPLUS
CN 1-Piperazinepentanoic acid, α,α,4-triphenyl-, methyl ester (9CI) (CA INDEX NAME)



RN 327030-46-6 CAPLUS
CN 1-Piperazinepentanoic acid, α-ethyl-α,4-diphenyl- (9CI) (CA INDEX NAME)



RN 327030-47-7 CAPLUS
CN 1-Piperazinepentanoic acid, 4-[1,1'-biphenyl]-3-yl-α-methyl-α-phenyl-, dihydrochloride (9CI) (CA INDEX NAME)



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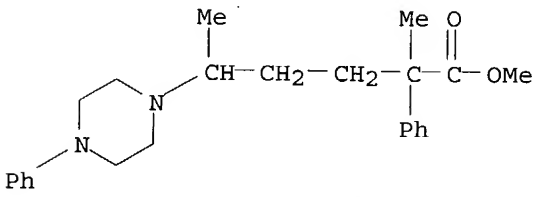
RN 327030-48-8 CAPLUS
CN 1-Piperazinepentanoic acid, α,δ-dimethyl-α,4-diphenyl-, methyl ester (9CI) (CA INDEX NAME)



L4 ANSWER 3 OF 21 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2001:136770 CAPLUS
DN 134:193434
TI Preparation of arylpiperazinylpentanecarboxylates and -hexanecarboxylates as inhibitors of microsomal triglyceride transfer protein.
IN Lehmann-Lintz, Thorsten; Heckel, Armin; Thomas, Leo; Mark, Michael
PA Boehringer Ingelheim Pharma KG, Germany
SO Ger. Offen., 24 pp.
CODEN: GWXXBX
DT Patent
LA German
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 19939516	A1	20010222	DE 1999-19939516	19990820
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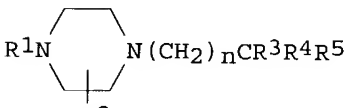
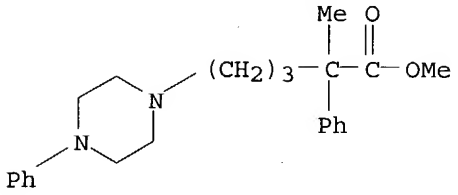


I

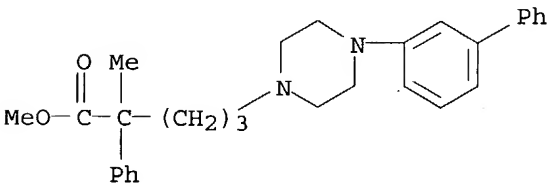
AB Title compds. [I; n = 3, 4, 5; R1 = (substituted) Ph; R2 = H, alkyl; R3 = H, alkyl, cycloalkyl, cycloalkylalkyl, (substituted) Ph, naphthyl, heteroaryl; R4 = (substituted) Ph, naphthyl, heteroaryl; R5 = CO2H, (substituted) alkoxycarbonyl, cycloalkoxycarbonyl], were prepared to reduce plasma levels of arterogenic lipoproteins (no data). Thus, 1-phenylpiperazine, Me 5-bromo-2-methyl-2-phenylpentanoate (preparation given), and Et3N were stirred 42 h in MeOH to give 29.2% Me 2-methyl-2-phenyl-5-(4-phenylpiperazin-1-yl)pentanoate.
IT **327030-05-7P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of arylpiperazinylpentanecarboxylates and -hexanecarboxylates as inhibitors of microsomal triglyceride transfer protein)
RN 327030-05-7 CAPLUS
CN 1-Piperazinepentanoic acid, α-methyl-α,4-diphenyl-, methyl

ester (9CI) (CA INDEX NAME)

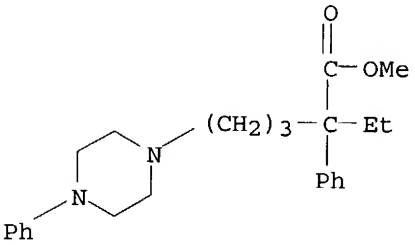


IT **327030-33-1P 327030-35-3P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of arylpiperazinylpentanecarboxylates as inhibitors of microsomal triglyceride transfer protein)

RN 327030-33-1 CAPLUS
CN 1-Piperazinepentanoic acid, 4-[1,1'-biphenyl]-3-yl-α-methyl-α-phenyl-, methyl ester (9CI) (CA INDEX NAME)



RN 327030-35-3 CAPLUS
CN 1-Piperazinepentanoic acid, α-ethyl-α,4-diphenyl-, methyl ester (9CI) (CA INDEX NAME)



IT **327030-08-0P 327030-09-1P 327030-10-4P**
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327030-14-8P 327030-15-9P 327030-16-0P
327030-17-1P 327030-18-2P 327030-19-3P
327030-20-6P 327030-21-7P 327030-22-8P
327030-23-9P 327030-24-0P 327030-25-1P
327030-26-2P 327030-27-3P 327030-28-4P
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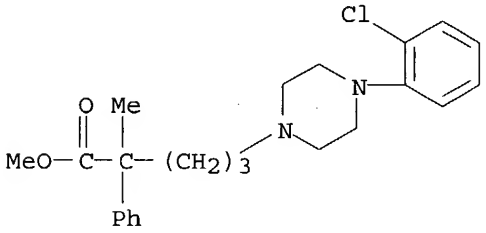
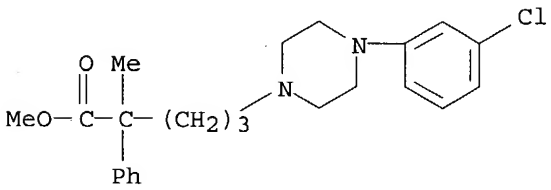
327030-36-4P 327030-37-5P 327030-38-6P
327030-42-2P 327030-43-3P 327030-46-6P
327030-47-7P 327030-48-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of arylpiperazinylpentanecarboxylates as inhibitors of microsomal triglyceride transfer protein)

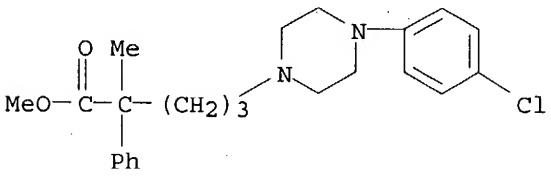
RN 327030-08-0 CAPLUS
CN 1-Piperazinepentanoic acid, 4-(2-chlorophenyl)-α-methyl-α-phenyl-, methyl ester (9CI) (CA INDEX NAME)



RN 327030-09-1 CAPLUS
CN 1-Piperazinepentanoic acid, 4-(3-chlorophenyl)-α-methyl-α-phenyl-, methyl ester (9CI) (CA INDEX NAME)



RN 327030-10-4 CAPLUS
CN 1-Piperazinepentanoic acid, 4-(4-chlorophenyl)-α-methyl-α-phenyl-, methyl ester (9CI) (CA INDEX NAME)



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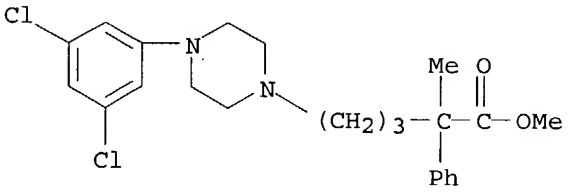
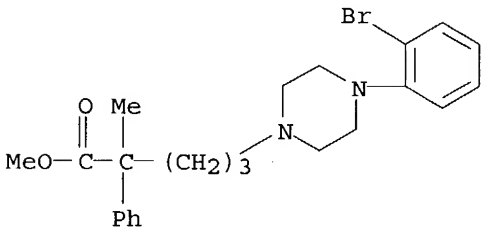
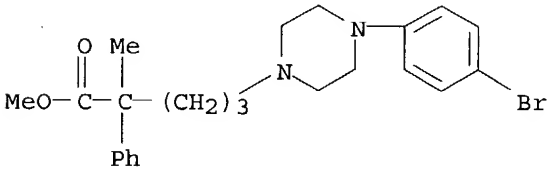
CN 1-Piperazinepentanoic acid, 4-(3,5-dichlorophenyl)-α-methyl-α-phenyl-, methyl ester (9CI) (CA INDEX NAME)



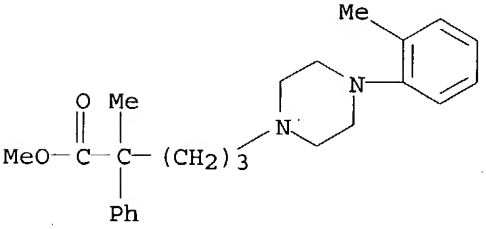
RN 327030-12-6 CAPLUS
CN 1-Piperazinepentanoic acid, 4-(2-bromophenyl)-α-methyl-α-phenyl-, methyl ester (9CI) (CA INDEX NAME)



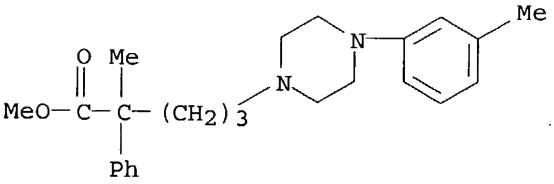
RN 327030-13-7 CAPLUS
CN 1-Piperazinepentanoic acid, 4-(4-bromophenyl)-α-methyl-α-phenyl-, methyl ester (9CI) (CA INDEX NAME)



RN 327030-14-8 CAPLUS
CN 1-Piperazinepentanoic acid, α-methyl-4-(2-methylphenyl)-α-phenyl-, methyl ester (9CI) (CA INDEX NAME)

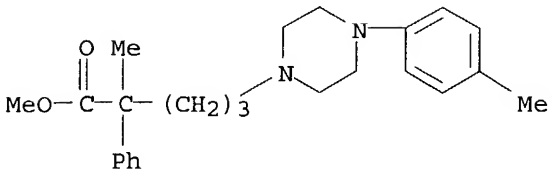


RN 327030-15-9 CAPLUS
CN 1-Piperazinepentanoic acid, α-methyl-4-(3-methylphenyl)-α-phenyl-, methyl ester (9CI) (CA INDEX NAME)

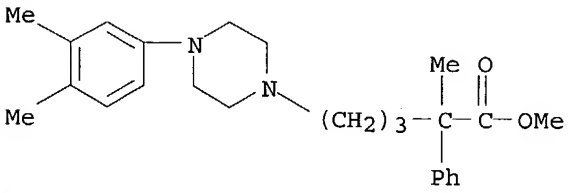


RN 327030-16-0 CAPLUS
CN 1-Piperazinepentanoic acid, α-methyl-4-(4-methylphenyl)-α-

phenyl-, methyl ester (9CI) (CA INDEX NAME)



RN 327030-17-1 CAPLUS
CN 1-Piperazinepentanoic acid, 4-(3,4-dimethylphenyl)-α-methyl-α-phenyl-, methyl ester (9CI) (CA INDEX NAME)



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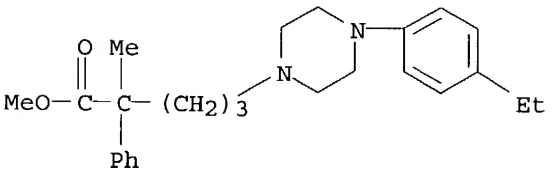
CN 1-Piperazinepentanoic acid, 4-(4-ethylphenyl)-α-methyl-α-phenyl-, methyl ester (9CI) (CA INDEX NAME)



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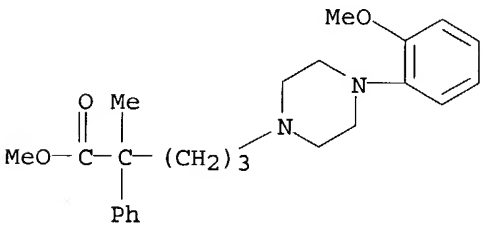
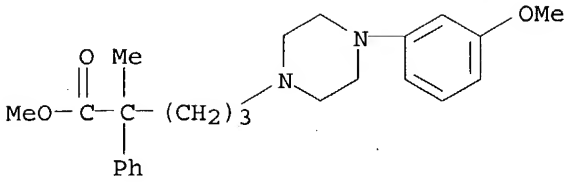
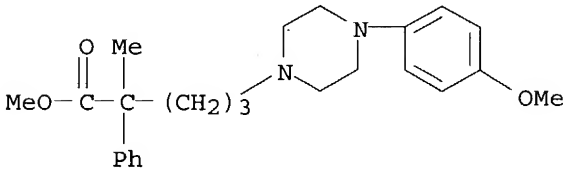
CN 1-Piperazinepentanoic acid, 4-(2-methoxyphenyl)-α-methyl-α-phenyl-, methyl ester (9CI) (CA INDEX NAME)



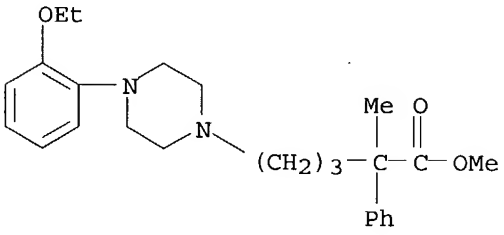
RN 327030-20-6 CAPLUS
CN 1-Piperazinepentanoic acid, 4-(3-methoxyphenyl)-α-methyl-α-phenyl-, methyl ester (9CI) (CA INDEX NAME)



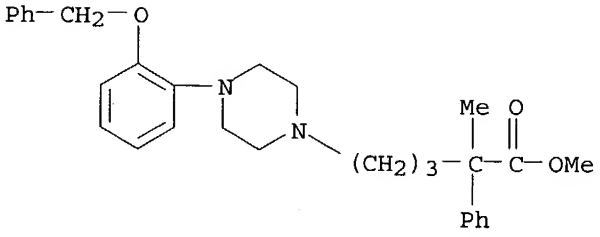
RN 327030-21-7 CAPLUS
CN 1-Piperazinepentanoic acid, 4-(4-methoxyphenyl)-α-methyl-α-phenyl-, methyl ester (9CI) (CA INDEX NAME)



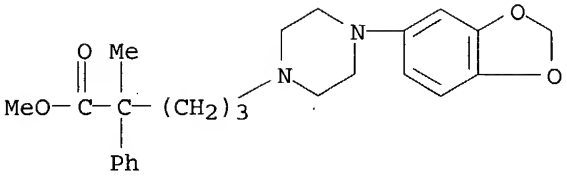
RN 327030-22-8 CAPLUS
CN 1-Piperazinepentanoic acid, 4-(2-ethoxyphenyl)-α-methyl-α-phenyl-, methyl ester (9CI) (CA INDEX NAME)



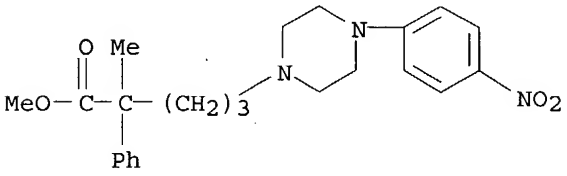
RN 327030-23-9 CAPLUS
CN 1-Piperazinepentanoic acid, α-methyl-α-phenyl-4-[2-(phenylmethoxy)phenyl]-, methyl ester (9CI) (CA INDEX NAME)



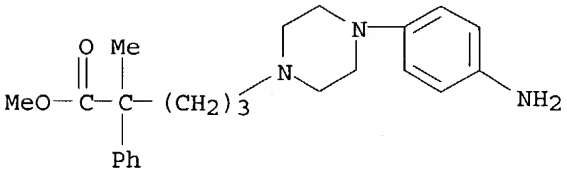
RN 327030-24-0 CAPLUS
CN 1-Piperazinepentanoic acid, 4-(1,3-benzodioxol-5-yl)-α-methyl-α-phenyl-, methyl ester (9CI) (CA INDEX NAME)



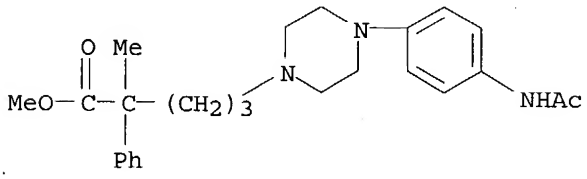
RN 327030-25-1 CAPLUS
CN 1-Piperazinepentanoic acid, α-methyl-4-(4-nitrophenyl)-α-phenyl-, methyl ester (9CI) (CA INDEX NAME)



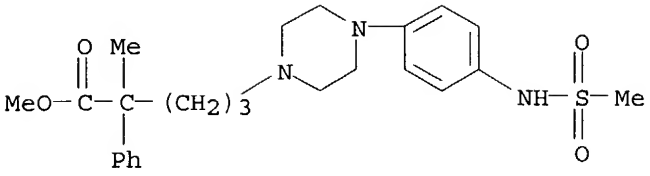
RN 327030-26-2 CAPLUS
CN 1-Piperazinepentanoic acid, 4-(4-aminophenyl)-α-methyl-α-phenyl-, methyl ester (9CI) (CA INDEX NAME)



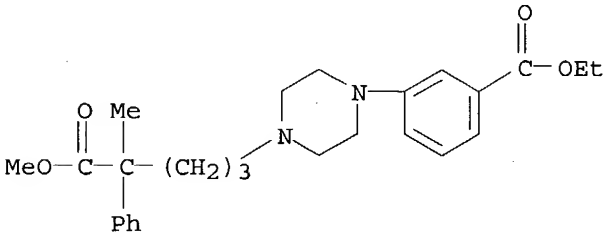
RN 327030-27-3 CAPLUS
CN 1-Piperazinepentanoic acid, 4-[4-(acetylamino)phenyl]-α-methyl-α-phenyl-, methyl ester (9CI) (CA INDEX NAME)



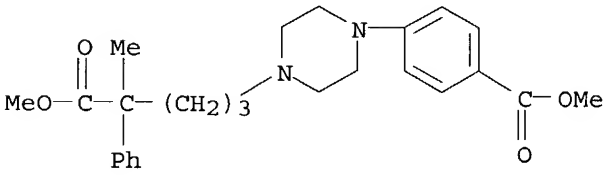
RN 327030-28-4 CAPLUS
CN 1-Piperazinepentanoic acid, α-methyl-4-[4-[(methylsulfonyl)amino]phenyl]-α-phenyl-, methyl ester (9CI) (CA INDEX NAME)



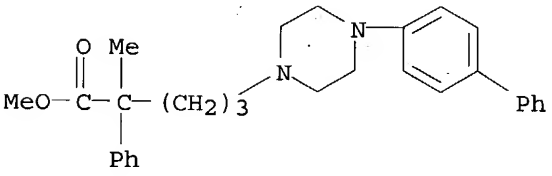
RN 327030-29-5 CAPLUS
CN 1-Piperazinepentanoic acid, 4-[3-(ethoxycarbonyl)phenyl]-α-methyl-α-phenyl-, methyl ester (9CI) (CA INDEX NAME)



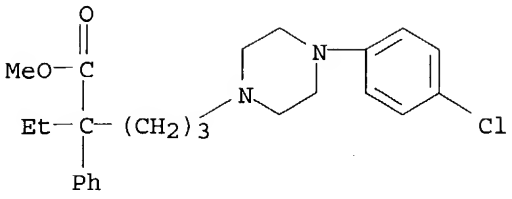
RN 327030-30-8 CAPLUS
CN 1-Piperazinepentanoic acid, 4-[4-(methoxycarbonyl)phenyl]-α-methyl-α-phenyl-, methyl ester (9CI) (CA INDEX NAME)



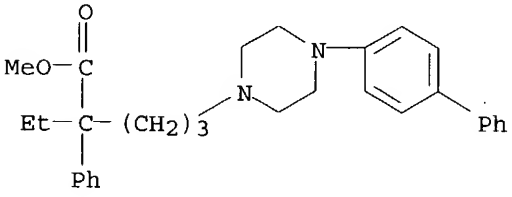
RN 327030-31-9 CAPLUS
CN 1-Piperazinepentanoic acid, 4-[1,1'-biphenyl]-4-yl-α-methyl-α-phenyl-, methyl ester (9CI) (CA INDEX NAME)



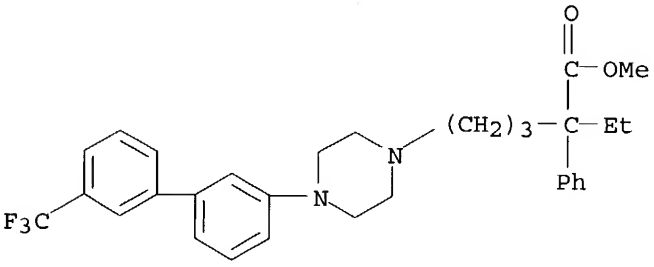
RN 327030-36-4 CAPLUS
CN 1-Piperazinepentanoic acid, 4-(4-chlorophenyl)-α-ethyl-α-phenyl-, methyl ester (9CI) (CA INDEX NAME)



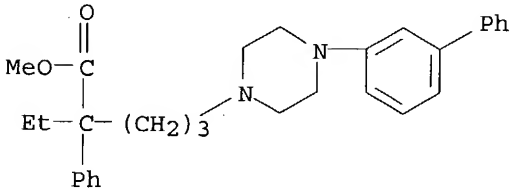
RN 327030-37-5 CAPLUS
CN 1-Piperazinepentanoic acid, 4-[1,1'-biphenyl]-4-yl-α-ethyl-α-phenyl-, methyl ester (9CI) (CA INDEX NAME)



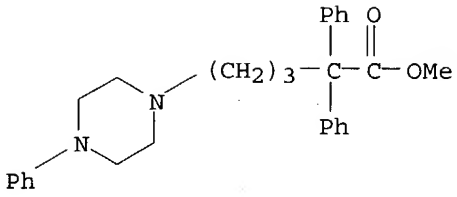
RN 327030-38-6 CAPLUS
CN 1-Piperazinepentanoic acid, α-ethyl-α-phenyl-4-[3'-(trifluoromethyl)[1,1'-biphenyl]-3-yl]-, methyl ester (9CI) (CA INDEX NAME)



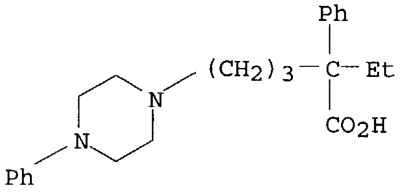
RN 327030-42-2 CAPLUS
CN 1-Piperazinepentanoic acid, 4-[1,1'-biphenyl]-3-yl-α-ethyl-α-phenyl-, methyl ester (9CI) (CA INDEX NAME)



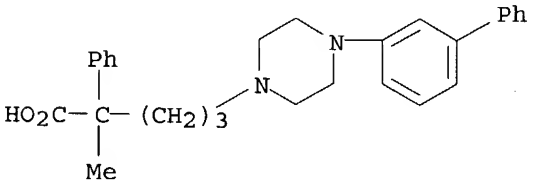
RN 327030-43-3 CAPLUS
CN 1-Piperazinepentanoic acid, α,α,4-triphenyl-, methyl ester (9CI) (CA INDEX NAME)



RN 327030-46-6 CAPLUS
CN 1-Piperazinepentanoic acid, α-ethyl-α,4-diphenyl- (9CI) (CA INDEX NAME)

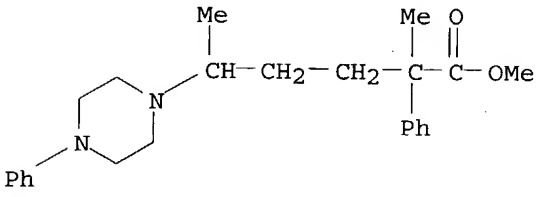


RN 327030-47-7 CAPLUS
CN 1-Piperazinepentanoic acid, 4-[1,1'-biphenyl]-3-yl-α-methyl-α-phenyl-, dihydrochloride (9CI) (CA INDEX NAME)



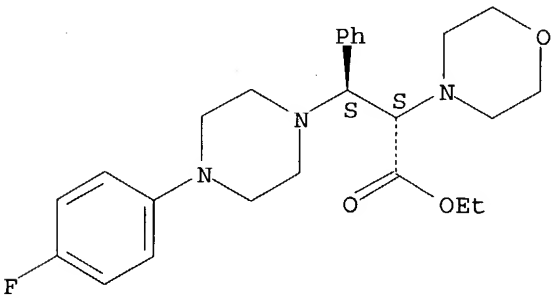
● 2 HCl

RN 327030-48-8 CAPLUS
CN 1-Piperazinepentanoic acid, α,δ-dimethyl-α,4-diphenyl-, methyl ester (9CI) (CA INDEX NAME)



L4 ANSWER 4 OF 21 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2000:758683 CAPLUS
DN 134:71128
TI Applications of Aziridinium Ions. Selective Syntheses of α,β-Diamino Esters, α-Sulfanyl-β-amino Esters, β-Lactams, and 1,5-Benzodiazepin-2-one
AU Chuang, Tsung-Hsun; Sharpless, K. Barry
CS Department of Chemistry and the Skaggs Institute for Chemical Biology, The Scripps Research Institute, La Jolla, CA, 92037, USA
SO Organic Letters (2000), 2(23), 3555-3557
CODEN: ORLEF7; ISSN: 1523-7060
PB American Chemical Society
DT Journal
LA English
OS CASREACT 134:71128
AB A variety of nucleophiles, including amines, thiolates, and alkoxides, were employed to open aziridinium ions. The latter are opened stereospecifically and regioselectively at the C-3 position by a wide range of amines, and thiolate nucleophiles attack predominately at the C-2 position. Poor regioselectivities (ca. 1:1) were observed using nucleophiles derived from phenols, carboxylic acids, and imides. Base-mediated ring closure of the aziridinium opening products, from primary amines, gave β-lactams and a 1,5-benzodiazepin-2-one in high yields.
IT **314277-96-8P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(ring cleavage of aziridinium ions via reactions with amines, thiolates, and alkoxides)
RN 314277-96-8 CAPLUS
CN 4-Morpholineacetic acid, α-[(R)-[4-(4-fluorophenyl)-1-piperazinyl]phenylmethyl]-, ethyl ester, (αR)-rel- (9CI) (CA INDEX NAME)

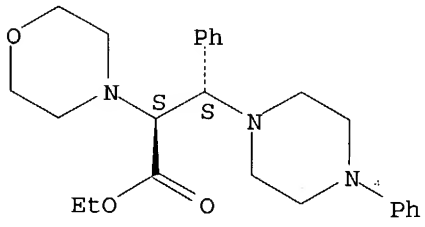
Relative stereochemistry.



RE.CNT 26 THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 21 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1999:634691 CAPLUS
DN 132:22730
TI Applications of Aziridinium Ions. Selective Syntheses of β-Aryl-α,β-diamino Esters
AU Chuang, Tsung-Hsun; Sharpless, K. Barry
CS Department of Chemistry and the Skaggs Institute for Chemical Biology, The Scripps Research Institute, La Jolla, CA, 92037, USA
SO Organic Letters (1999), 1(9), 1435-1437
CODEN: ORLEF7; ISSN: 1523-7060
PB American Chemical Society
DT Journal
LA English
OS CASREACT 132:22730
AB α,β-Diamino esters are readily prepared through stereospecific and regioselective opening of an aziridinium ion intermediate with a variety of amines. The aziridinium ion is generated from the epoxide in two steps.
IT **251967-14-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of β-aryl-α,β-diamino esters through stereospecific and regioselective opening of an aziridinium ion intermediate)
RN 251967-14-3 CAPLUS
CN 4-Morpholineacetic acid, α-[(R)-phenyl(4-phenyl-1-piperazinyl)methyl]-, ethyl ester, (αR)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

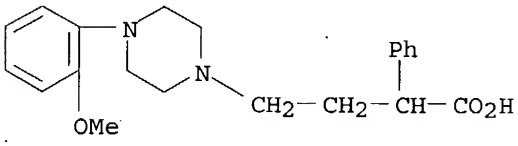


RE.CNT 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 6 OF 21 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1997:128095 CAPLUS
DN 126:166501
TI N-Heterocycloalkyl carboxamides as serotonergic agents
IN Baudy, Reinhardt B.; Berta, Scott C.
PA American Home Products Corporation, USA
SO U.S., 4 pp.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 5602128	A	19970211	US 1994-348651	19941202
PRAI US 1994-348651		19941202		

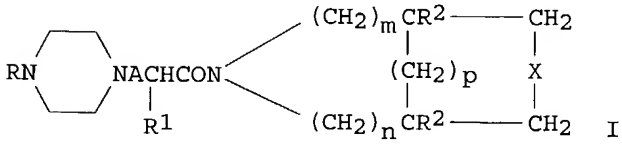
OS MARPAT 126:166501
AB 4-[4-(2-Methoxyphenyl)piperazin-1-yl]-N-[(thio)morpholinyl]-2-phenylbutyramides and a pharmaceutically acceptable salt thereof, are useful as anxiolytic/antidepressant agents. Coupling of 4-[4-(2-methoxyphenyl)piperazin-1-yl]-2-phenylbutanoic acid with 4-(2-aminoethyl)morpholine in presence of triethylamine and N,N-bis(2-oxo-3-oxazolidinyl)phosphoramidic chloride gave 4-[4-(2-methoxyphenyl)piperazin-1-yl]-N-(2-morpholin-4-ylethyl)-2-phenylbutyramide (I). I displayed potent affinity for the serotonin 5-HT1A receptor.
IT **156818-13-2**
RL: RCT (Reactant); RACT (Reactant or reagent)
(4-[4-(2-methoxyphenyl)piperazin-1-yl]-N-[(thio)morpholinyl]-2-phenylbutyramides as serotonergic agents)
RN 156818-13-2 CAPLUS
CN 1-Piperazinebutanoic acid, 4-(2-methoxyphenyl)-α-phenyl- (9CI) (CA INDEX NAME)



L4 ANSWER 7 OF 21 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1996:446471 CAPLUS
DN 125:114692
TI Preparation of piperazine-containing bicyclic carboxamides as 5-HT1a receptor antagonists
IN Cliffe, Ian Anthony; Mansell, Howard Langham; Ward, Terence James; Nelson, James Albert; Shah, Uresh Shantilal; Kanzelberger, Mira Ana
PA John Wyeth and Brother Ltd., UK; American Home Products Corporation
SO PCT Int. Appl., 29 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9609302	A1	19960328	WO 1995-GB2001	19950823
	W: AM, AU, BB, BG, BR, BY, CA, CN, CZ, EE, FI, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, RO, RU, SD, SG, SI, SK, TJ, TT, UA, UG, UZ, VN				
	RW: KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	US 5610154	A	19970311	US 1995-448962	19950524
	CA 2200443	AA	19960328	CA 1995-2200443	19950823
	AU 9533501	A1	19960409	AU 1995-33501	19950823
	AU 692917	B2	19980618		
	EP 782574	A1	19970709	EP 1995-929941	19950823
	EP 782574	B1	20020327		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
	CN 1158615	A	19970903	CN 1995-195207	19950823
	CN 1043764	B	19990623		
	BR 9508979	A	19971028	BR 1995-8979	19950823
	JP 10505853	T2	19980609	JP 1995-510658	19950823
	HU 77940	A2	19981228	HU 1998-408	19950823
	AT 215083	E	20020415	AT 1995-929941	19950823
	ES 2170802	T3	20020816	ES 1995-929941	19950823
	PT 782574	T	20020830	PT 1995-95929941	19950823
	IL 115085	A1	19990620	IL 1995-115085	19950828
	ZA 9507449	A	19970305	ZA 1995-7449	19950905
	TW 424092	B	20010301	TW 1995-84109809	19950919
	FI 9701177	A	19970520	FI 1997-1177	19970320
PRAI	GB 1994-19024	A	19940921		
	WO 1995-GB2001	W	19950823		

OS MARPAT 125:114692
GI



I

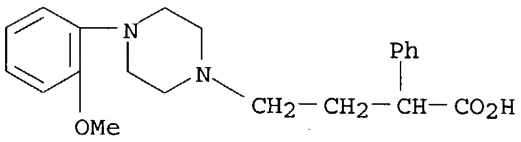
AB The title compds. [I; A = (un)substituted C1-2 alkylene; R = mono or bicyclic aryl or heteroaryl; R1 = aryl, arylalkyl; X = CR2:CR2, (CR2)q; R2 = H, lower alkyl; m, n = 0-2; p, q = 0-3], which are 5-HT1a receptor

antagonists, useful as anxiolytics (no data), are prepared Thus, 4-[4-(2-methoxyphenyl)piperazin-1-yl]-2-phenylbutanoic acid was condensed with desmethyltropane and the resultant free base salified with aqueous HCl, producing 1-(8-azabicyclo[3.2.1]oct-8-yl)-4-[4-(2-methoxyphenyl)piperazin-1-yl]-2-phenylbutan-1-one hydrochloride hemihydrate, m.p. 225-228° (decomposition), which demonstrated a IC50 of 3.3 nM in a rat hippocampal membrane homogenate-derived 5-HT1a receptor-binding assay.

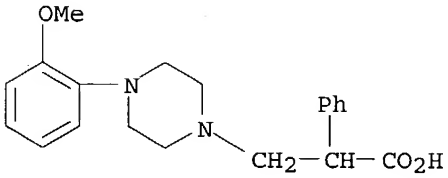
IT **156818-13-2**
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of piperazine-containing bicyclic carboxamides as 5-HT1a receptor
antagonists)

RN 156818-13-2 CAPLUS

CN 1-Piperazinebutanoic acid, 4-(2-methoxyphenyl)-α-phenyl- (9CI) (CA INDEX NAME)

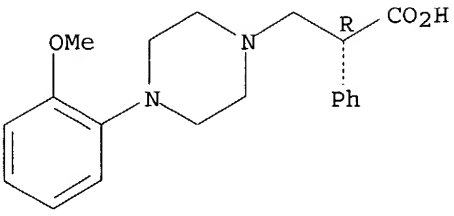


L4 ANSWER 8 OF 21 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1996:123207 CAPLUS
DN 124:249645
TI Structure-activity relationship studies of CNS agents. Part 24. New analogs of N-tert.-butyl-3-[4-(2-methoxyphenyl)-1-piperazinyl]-2-phenylpropanamide
AU Boksa, J.; Klodzinska, Aleksandra; Charakchieva-Minol, Sijka; Chojnacka-Wojcik, Ewa; Mokrosz, J. L.
CS Inst. Pharmacology, Polish Acad. Sci., Krakow, Pol.
SO Pharmazie (1996), 51(2), 72-6
CODEN: PHARAT; ISSN: 0031-7144
PB Govi-Verlag Pharmazeutischer Verlag
DT Journal
LA English
AB A series of new N-substituted derivs. of 3-[4-(2-methoxyphenyl)-1-piperazinyl]-2-phenylpropanamide were synthesized and their 5-HT1A, 5-HT2A, and α1 receptor affinities were determined All the compds. were highly potent 5-HT1A ligands with a moderate or low 5-HT2A and α1 affinity. The 5-HT2A affinity of these compds. depended on the volume of amide substituents. None of the investigated racemic mixts. antagonized the 8-OH-DPAT-induced lower lip retraction in rats.
IT **129394-10-1**
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation and structure-5-HT receptor agonist activity relations of arylpiperazine derivs.)
RN 129394-10-1 CAPLUS
CN 1-Piperazinepropanoic acid, 4-(2-methoxyphenyl)-α-phenyl- (9CI) (CA INDEX NAME)



IT **175274-25-6P 175274-26-7P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and structure-5-HT receptor agonist activity relations of arylpiperazines)
RN 175274-25-6 CAPLUS
CN 1-Piperazinepropanoic acid, 4-(2-methoxyphenyl)-α-phenyl-, dihydrochloride, (R)- (9CI) (CA INDEX NAME)

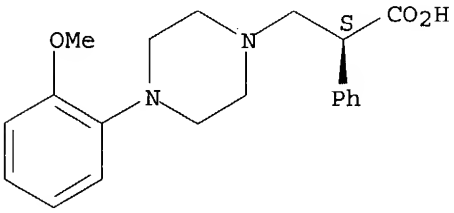
Absolute stereochemistry.



●2 HCl

RN 175274-26-7 CAPLUS
CN 1-Piperazinepropanoic acid, 4-(2-methoxyphenyl)-α-phenyl-, dihydrochloride, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

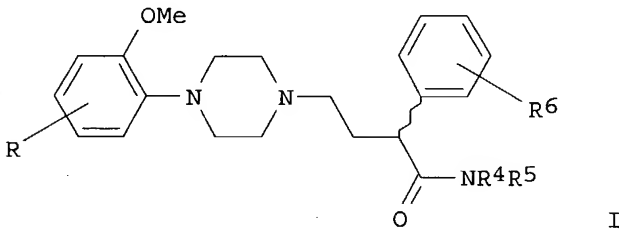


●2 HCl

L4 ANSWER 9 OF 21 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1995:947094 CAPLUS
DN 124:146200
TI 4-[4-(2-Methoxyphenyl)piperazin-1-yl]-2-phenyl-N-alkynylbutyramides as serotonergic agents
IN Baudy, Reinhardt B.; Berta, Scott C.
PA American Home Products Corp., USA
SO U.S., 5 pp.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 5451584	A	19950919	US 1994-337810	19941110
PRAI US 1994-337810		19941110		

OS MARPAT 124:146200
GI

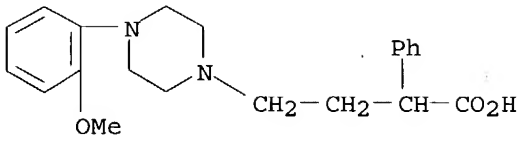


I

AB Carboxamides I where: R and R6 are members independently selected from the group consisting of H, CN, OR2, NO2, NR2R3, NR2COR3, NR2COOR3, COR2, COOR2, CONR2R3, SR2, SOR2, SO2R2, SO2NR2R3, alkyl of 1 to 6 carbon atoms, alkenyl of 2 to 6 carbon atoms, alkynyl of 2 to 6 carbon atoms, perhaloalkyl of 1 to 6 carbon atoms, and a halogen; in which R2 and R3 are alkyl of 1 to 6 carbon atoms, alkenyl of 2 to 6 carbon atoms, alkynyl of 2 to 6 carbon atoms, Ph, or benzyl; R4 is a member selected from the group consisting of H, alkyl of 1 to 6 carbon atoms, alkenyl of 2 to 6 carbon atoms and alkynyl of 2 to 6 carbon atoms; R5 is alkynyl of 2 to 8 carbon atoms or 1-alkynylcycloalkyl in which the alkynyl group has 2 to 6 carbon atoms and the cycloalkyl group has 3 to 10 carbon atoms; or a pharmaceutically acceptable salt thereof, are useful anxiolytic/antidepressant agents. Thus, e.g., coupling of 4-[4-(2-methoxyphenyl)piperazin-1-yl]-2-phenylbutanoic acid with propargylamine in presence of triethylamine and N,N-bis(2-oxo-3-oxazolidinyl)phosphoramidic chloride, followed by treatment with ethanolic HCl afforded 4-[4-(2-methoxyphenyl)piperazin-1-yl]-2-phenyl-N-prop-2-ynylbutyramide dihydrochloride (I.2HCl; R = R6 = H, NR4R5 = propargylamino) which displayed high affinity for the serotonin 5-HT1A receptor subtype, with IC50 = 44.9 nM.

IT **156818-13-2**
RL: RCT (Reactant); RACT (Reactant or reagent)
(4-[4-(2-methoxyphenyl)piperazin-1-yl]-2-phenyl-N-alkynylbutyramides as serotonergic agents useful as anxiolytics/antidepressants)

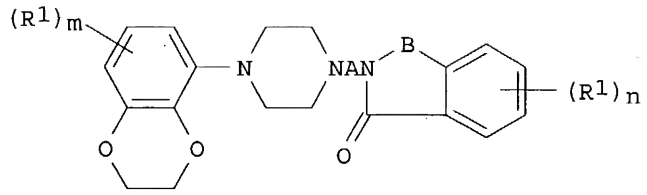
RN 156818-13-2 CAPLUS
CN 1-Piperazinebutanoic acid, 4-(2-methoxyphenyl)-α-phenyl- (9CI) (CA INDEX NAME)


N
N
Ph
CH2—CH2—CH—CO2H
OMe

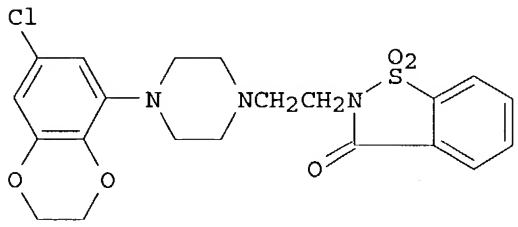
L4 ANSWER 10 OF 21 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1995:422806 CAPLUS
DN 122:187611
TI Preparation of 2,3-dihydro-1,4-benzodioxin-5-yl-piperazine derivatives having 5-HT1a-antagonistic activity.
IN Hartog, Jan; Van Steen, B. J.; Mos, Johannes; Schipper, Jacques
PA Duphar International Research B.V., Neth.
SO Eur. Pat. Appl., 16 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 633260	A1	19950111	EP 1994-201900	19940701
	EP 633260	B1	20011107		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
	CA 2127084	AA	19950106	CA 1994-2127084	19940629
	FI 9403149	A	19950106	FI 1994-3149	19940630
	NO 9402471	A	19950106	NO 1994-2471	19940630
	JP 07215972	A2	19950815	JP 1994-170370	19940630
	US 5462942	A	19951031	US 1994-269086	19940630
	HU 75155	A2	19970428	HU 1994-1965	19940630
	HU 218215	B	20000628		
	CZ 286503	B6	20000412	CZ 1994-1597	19940630
	SK 281681	B6	20010611	SK 1994-788	19940630
	ZA 9404787	A	19950220	ZA 1994-4787	19940701
	CN 1106813	A	19950816	CN 1994-115999	19940701
	CN 1044244	B	19990721		
	AT 208385	E	20011115	AT 1994-201900	19940701
	PT 633260	T	20020429	PT 1994-94201900	19940701
	ES 2167346	T3	20020516	ES 1994-201900	19940701
	AU 9466139	A1	19950112	AU 1994-66139	19940704
	AU 680900	B2	19970814		
	RU 2118322	C1	19980827	RU 1994-23250	19940704
	IL 110209	A1	20000229	IL 1994-110209	19940704
PRAI	EP 1993-201950	A	19930705		

OS CASREACT 122:187611; MARPAT 122:187611
GI



I



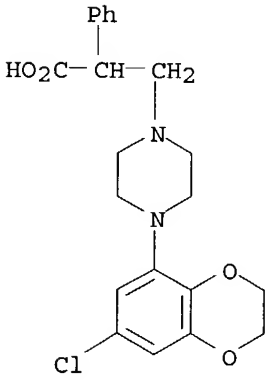
II

AB Title compds. (I; R1 = halo, lower alkyl, alkoxy, OH, CF3, cyano; m = 1,2; n = 0,1; A = C2-6 alkylene which may be substituted with ≥1 lower alkyl groups or a monocyclic (hetero)aryl group; B = CH2, CH2CH2, CO, S, SO, SO2), were prepared Thus, saccharin was heated with 1-(7-chloro-2,3-dihydro-1,4-benzodioxin-5-yl)-4-(2-chloroethyl)piperazine and NaH in DMF to give title compound (II). In general I were selective for 5-HT1a receptors, antagonize the effects of 8-OH-DPAT in rats, and have good oral bioavailability.

IT **161612-51-7P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of 2,3-dihydro-1,4-benzodioxin-5-yl-piperazine derivs. having 5-HT1a-antagonistic activity)

RN 161612-51-7 CAPLUS

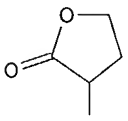
CN 1-Piperazinepropanoic acid, 4-(7-chloro-2,3-dihydro-1,4-benzodioxin-5-yl)-α-phenyl- (9CI) (CA INDEX NAME)



L4 ANSWER 11 OF 21 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1994:533946 CAPLUS
DN 121:133946
TI Preparation of α-aryl-γ-butyrolactones
IN Shepherd, Robin Gerald
PA John Wyeth and Brother Ltd., UK
SO PCT Int. Appl., 13 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9412487	A1	19940609	WO 1993-GB2427	19931125
	W: AU, BB, BG, BR, BY, CA, CZ, FI, HU, JP, KP, KR, KZ, LK, MG, MN, MW, NO, NZ, PL, RO, RU, SD, SK, UA, US, VN				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	CA 2150948	AA	19940609	CA 1993-2150948	19931125
	AU 9455324	A1	19940622	AU 1994-55324	19931125
	EP 672039	A1	19950920	EP 1994-900256	19931125
	EP 672039	B1	19970709		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
	JP 08503939	T2	19960430	JP 1994-512915	19931125
	JP 3274866	B2	20020415		
	AT 155134	E	19970715	AT 1994-900256	19931125
	ES 2105597	T3	19971016	ES 1994-900256	19931125
	ZA 9308873	A	19950526	ZA 1993-8873	19931126
	US 5629432	A	19970513	US 1995-436186	19950516
PRAI	GB 1992-25257	A	19921203		
	WO 1993-GB2427	W	19931125		

OS CASREACT 121:133946; MARPAT 121:133946
GI

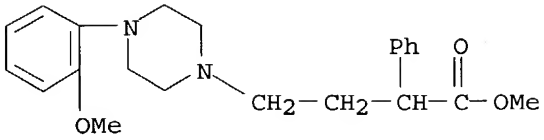


R I

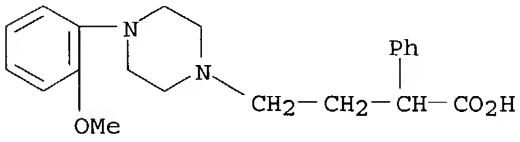
AB Title compds. [I; R = (un)substituted Ph, or (bicyclic)heteroaryl] were prepared by condensation of RCH(CO2R1)CO2R2 (R1,R2 = alkyl) with YCH2CH2OZ (Y = leaving group; Z = protecting group) to give RC(CO2R1)(CO2R2)CH2CH2OZ followed by hydrolysis. The lactones are of use as intermediates for preparing 5-HT1A binding agents (sic). Thus, PhCH(CO2Et)2 was condensed with BrCH2CH2OAc to give PhC(CO2Et)2CH2CH2OAc which was refluxed 2h with NaOH in aqueous MeOH to give 89% (this step) I (R = Ph). The latter was converted in 6 steps to (-)-2,3,4,5,6,7-hexahydro-1-[4-[4-(2-methoxyphenyl)piperazino]-2-phenylbutyryl]-1H-azepine hydrochloride.

IT **141733-63-3P 156818-13-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, in preparation of drug)

RN 141733-63-3 CAPLUS
CN 1-Piperazinebutanoic acid, 4-(2-methoxyphenyl)-α-phenyl-, methyl ester (9CI) (CA INDEX NAME)



RN 156818-13-2 CAPLUS
CN 1-Piperazinebutanoic acid, 4-(2-methoxyphenyl)-α-phenyl- (9CI) (CA INDEX NAME)



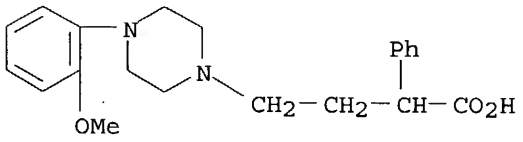
L4 ANSWER 12 OF 21 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1994:491799 CAPLUS
DN 121:91799
TI Pharmaceutical piperazine derivatives
IN Cliffe, Ian Anthony; Ifill, Anderson Decourtney; White, Alan Chapman
PA John Wyeth and Brother Ltd., UK
SO Brit. UK Pat. Appl., 12 pp.
CODEN: BAXXDU
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	GB 2271930	A1	19940504	GB 1993-21690	19931021
	GB 2271930	B2	19960724		
PRAI	GB 1992-23014		19921103		

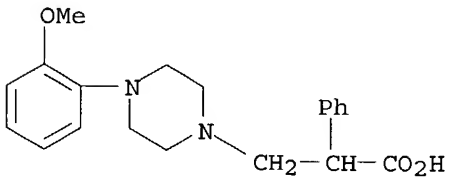
AB 4-[4-(2-Methoxyphenyl)piperazin-1-yl]-2-phenylbutanoic acid and the pharmaceutically acceptable salts thereof are useful as 5-HT1A-antagonists. The compds. act primarily at peripheral 5-HT1A sites and can be used in treating gastrointestinal disorders in humans and other mammals.

IT **156818-13-2P**
RL: PREP (Preparation)
(preparation of, as 5-HT1A antagonist)

RN 156818-13-2 CAPLUS
CN 1-Piperazinebutanoic acid, 4-(2-methoxyphenyl)-α-phenyl- (9CI) (CA INDEX NAME)



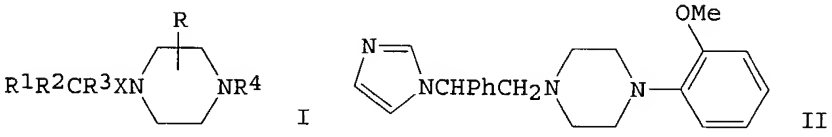
L4 ANSWER 13 OF 21 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1993:485794 CAPLUS
DN 119:85794
TI (S)-N-tert-Butyl-3-(4-(2-methoxyphenyl)piperazin-1-yl)-2-phenylpropanamide [(S)-WAY-100135]: a selective antagonist at presynaptic and postsynaptic 5-HT1A receptors
AU Cliffe, Ian A.; Brightwell, Christopher I.; Fletcher, Allan; Forster, Elaine A.; Mansell, Howard L.; Reilly, Yvonne; Routledge, Carol; White, Alan C.
CS Dep. Med. Chem., Wyeth Res. (UK), Taplow/Berkshire, SL6 0PH, UK
SO Journal of Medicinal Chemistry (1993), 36(10), 1509-10
CODEN: JMCMAR; ISSN: 0022-2623
DT Journal
LA English
AB The synthesis and pharmacol. properties of S-(+)-WAY-100135 are reported. The compound was a highly selective and potent antagonist at presynaptic and postsynaptic 5-HT1A receptors. The binding affinity at 5-HT1A sites was 15.5 nM and the affinity at other 5-HT, noradrenergic, and dopaminergic D2 sites was >1000 nM. In rats, (S)-WAY-100135 did not produce 5-HT1A agonist-like behaviors (up to 10 mg/kg i.v.) but blocked the effects of 8-OH-DPAT. Microdialysis expts. showed that (S)-WAY-100135 at 10 mg/kg s.c. was without a significant effect on extracellular levels of 5-HT in the rat brain hippocampus and completely blocked the effects of 8-OH-DPAT.
IT **129394-10-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, with butylamine)
RN 129394-10-1 CAPLUS
CN 1-Piperazinepropanoic acid, 4-(2-methoxyphenyl)-α-phenyl- (9CI) (CA INDEX NAME)



L4 ANSWER 14 OF 21 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1992:490321 CAPLUS
DN 117:90321
TI Piperazine derivatives
IN Ward, Terence James; Warrellow, Graham John
PA John Wyeth and Brother Ltd., UK
SO Eur. Pat. Appl., 16 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 479546	A2	19920408	EP 1991-308969	19911001
	EP 479546	A3	19920603		
	EP 479546	B1	19961030		
	R: AT, BE, CH, DE, DK, ES, FR, GR, IT, LI, LU, NL, SE				
	AU 9184883	A1	19920409	AU 1991-84883	19910930
	AU 642532	B2	19931021		
	US 5177078	A	19930105	US 1991-768147	19910930
	GB 2248616	A1	19920415	GB 1991-20856	19911001
	GB 2248616	B2	19940615		
	JP 04257570	A2	19920911	JP 1991-253585	19911001
	AT 144772	E	19961115	AT 1991-308969	19911001
	ES 2094204	T3	19970116	ES 1991-308969	19911001
	CA 2052619	AA	19920404	CA 1991-2052619	19911002
	HU 59394	A2	19920528	HU 1991-3160	19911003
	HU 217813	B	20000428		
	IL 101166	A1	20000813	IL 1992-101166	19920306
PRAI	GB 1990-21453	A	19901003		

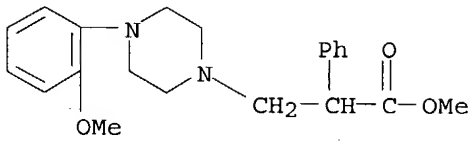
OS MARPAT 117:90321
GI



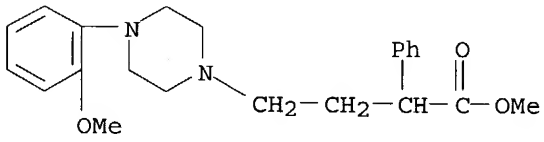
AB Piperazines I (X = alkylene; R = H, alkyl; R1, R4 = aryl, heteroaryl; R2 = mono- or bicyclic heterocyclic; R3 = H, OH, alkyl) were prepared Thus, 1-(2-methoxyphenyl)piperazine was treated with styrene oxide followed by imidazole to give the piperazine II. II had 5-hydroxytryptamine type 1A receptor antagonist activity in rats at a min. ED of 1 mg/kg s.c. and 10 mg/kg orally.

IT **132708-57-7 141733-63-3**
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with acetamidoxime)

RN 132708-57-7 CAPLUS
CN 1-Piperazinepropanoic acid, 4-(2-methoxyphenyl)-α-phenyl-, methyl ester (9CI) (CA INDEX NAME)



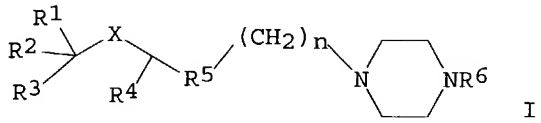
RN 141733-63-3 CAPLUS
CN 1-Piperazinebutanoic acid, 4-(2-methoxyphenyl)-α-phenyl-, methyl ester (9CI) (CA INDEX NAME)



L4 ANSWER 15 OF 21 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1991:164279 CAPLUS
DN 114:164279
TI Preparation of 1-aryl-4-carboxyalkylpiperazines and related compounds as 5HT1A antagonists
IN Cliffe, Ian Anthony; Abou-Gharbia, Magid Abdel Megid; Yardley, John Patrick
PA American Home Products Corp., USA; John Wyeth and Brother Ltd.
SO Eur. Pat. Appl., 37 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 395313	A2	19901031	EP 1990-304251	19900420
	EP 395313	A3	19910508		
	EP 395313	B1	19991215		
	R: AT, BE, CH, DE, DK, ES, FR, GR, IT, LI, LU, NL, SE				
	US 4988814	A	19910129	US 1989-428148	19891027
	CA 2015033	AA	19901022	CA 1990-2015033	19900420
	CA 2015033	C	20000606		
	AU 9053778	A1	19901025	AU 1990-53778	19900420
	AU 619677	B2	19920130		
	GB 2230780	A1	19901031	GB 1990-8924	19900420
	GB 2230780	B2	19921021		
	HU 54667	A2	19910328	HU 1990-2503	19900420
	DD 296921	A5	19911219	DD 1990-339954	19900420
	ZA 9003019	A	19911224	ZA 1990-3019	19900420
	ZA 9003020	A	19911224	ZA 1990-3020	19900420
	DD 297968	A5	19920130	DD 1990-339955	19900420
	FI 93832	B	19950228	FI 1990-1982	19900420
	FI 93832	C	19950612		
	KR 142417	B1	19980601	KR 1990-5531	19900420
	EP 955296	A2	19991110	EP 1999-108070	19900420
	EP 955296	A3	20000119		
	R: AT, BE, CH, DE, DK, ES, FR, GR, IT, LI, LU, NL, SE				
	AT 187718	E	20000115	AT 1990-304251	19900420
	ES 2140374	T3	20000301	ES 1990-304251	19900420
	JP 03020263	A2	19910129	JP 1990-106300	19900421
	JP 3054677	B2	20000619		
	IL 94160	A1	19940624	IL 1990-94160	19900422
	US 5364849	A	19941115	US 1992-911996	19920710
	GB 2255976	A1	19921125	GB 1992-15425	19920720
	GB 2255976	B2	19921125		
	US 5382583	A	19950117	US 1992-998887	19921229
	US 5340812	A	19940823	US 1993-1428	19930107
	US 5420278	A	19950530	US 1994-248124	19940524
	US 5541326	A	19960730	US 1994-339000	19941114
	GR 3032634	T3	20000531	GR 2000-400332	20000210
PRAI	GB 1989-9209	A	19890422		
	US 1989-428148	A	19891027		
	GB 1989-24323	A	19891028		
	US 1990-511150	B2	19900419		
	EP 1990-304251	A3	19900420		
	GB 1990-8925	A3	19900420		
	US 1991-748496	B1	19910822		
	US 1991-748497	B1	19910822		
	US 1991-756932	B1	19910909		
	US 1992-911996	A3	19920710		

US 1992-998887 A3 19921229
OS CASREACT 114:164279; MARPAT 114:164279
GI



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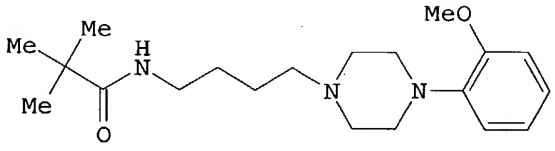
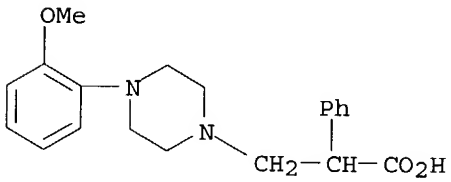


II

AB The title compds. [I; R1 = alkyl; R2, R3 = alkyl; R2R3 = cycloalkyl, 5-norbornen-2-yl; X = CO2, OCO2, NR7CO, NHNHCO, ONR7CO, CONR7, etc.; R4 = H, alkyl; R5 = R4, hydroxyalkyl, (substituted) Ph, PhCH2; R6 = (substituted) Ph, PhCH2, pyridinyl, pyrimidinyl, pyrazinyl; R7 = H, alkyl (substituted) Ph, PhCH2; n = 1-5], were prepared Thus, 4-(2-methoxyphenyl)-1-piperazinylbutanamine, Et3N, and Me3CCOCl were stirred overnight in CH2Cl2 to give 38% title compound II which at 0.1 μM gave 100% displacement of 3H-dipropylaminotetralin from 5-HT1A receptors.

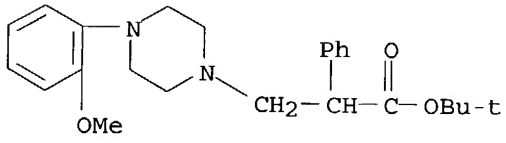
IT **129394-10-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and amidation of, in preparation of 5-HT1A antagonist)

RN 129394-10-1 CAPLUS
CN 1-Piperazinepropanoic acid, 4-(2-methoxyphenyl)-α-phenyl- (9CI) (CA INDEX NAME)



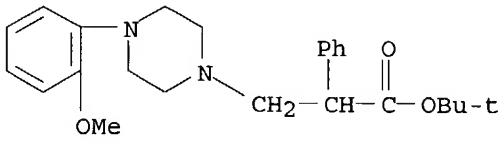
IT **133025-21-5P 133025-22-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as 5-HT1A antagonist)

RN 133025-21-5 CAPLUS
CN 1-Piperazinepropanoic acid, 4-(2-methoxyphenyl)-α-phenyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 133025-22-6 CAPLUS

CN 1-Piperazinepropanoic acid, 4-(2-methoxyphenyl)-α-phenyl-, 1,1-dimethylethyl ester, dihydrochloride (9CI) (CA INDEX NAME)

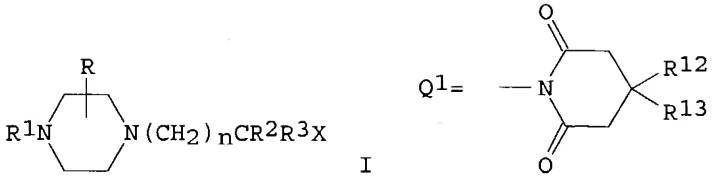


●2 HCl

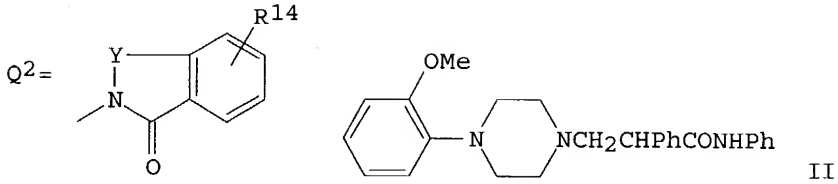
L4 ANSWER 16 OF 21 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1991:143444 CAPLUS
DN 114:143444
TI Preparation of 1-aryl-4-carboxyalkylpiperazines and related compounds as serotoninergic antagonists
IN Cliffe, Ian Anthony
PA John Wyeth and Brother Ltd., UK
SO Eur. Pat. Appl., 33 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 395312	A2	19901031	EP 1990-304250	19900420
	EP 395312	A3	19910508		
	EP 395312	B1	19990512		
	R: AT, BE, CH, DE, DK, ES, FR, GR, IT, LI, LU, NL, SE				
	CA 2015034	AA	19901022	CA 1990-2015034	19900420
	CA 2015034	C	20000801		
	AU 9053779	A1	19901025	AU 1990-53779	19900420
	AU 619678	B2	19920130		
	GB 2230781	A1	19901031	GB 1990-8925	19900420
	GB 2230781	B2	19930428		
	HU 54666	A2	19910328	HU 1990-2504	19900420
	DD 296921	A5	19911219	DD 1990-339954	19900420
	ZA 9003019	A	19911224	ZA 1990-3019	19900420
	ZA 9003020	A	19911224	ZA 1990-3020	19900420
	DD 297968	A5	19920130	DD 1990-339955	19900420
	IL 94151	A1	19950831	IL 1990-94151	19900420
	AT 179973	E	19990515	AT 1990-304250	19900420
	ES 2130116	T3	19990701	ES 1990-304250	19900420
	JP 03011059	A2	19910118	JP 1990-106299	19900421
	JP 3036786	B2	20000424		
	US 5364849	A	19941115	US 1992-911996	19920710
	GB 2255976	A1	19921125	GB 1992-15425	19920720
	GB 2255976	B2	19921125		
	US 5382583	A	19950117	US 1992-998887	19921229
	US 5340812	A	19940823	US 1993-1428	19930107
	US 5420278	A	19950530	US 1994-248124	19940524
	US 5541326	A	19960730	US 1994-339000	19941114
PRAI	GB 1989-9209	A	19890422		
	GB 1989-24323	A	19891028		
	US 1990-511150	B2	19900419		
	GB 1990-8925	A3	19900420		
	US 1991-748496	B1	19910822		
	US 1991-748497	B1	19910822		
	US 1991-756932	B1	19910909		
	US 1992-911996	A3	19920710		
	US 1992-998887	A3	19921229		

OS MARPAT 114:143444
GI



I



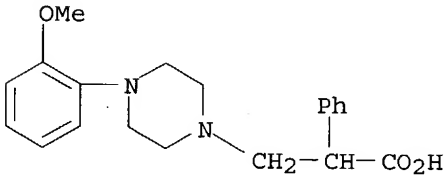
II

AB The title compds. [I; R = H, alkyl; R1 = aryl, N-containing heteroaryl; R2 = H, alkyl; R3 = aryl, alkyl, arylalkyl; X = O2CR10, CO2R6, CONR5R9, OCO2R6, NR4COR6, Q1, Q2, etc.; R4 = H, alkyl; R6 = alkyl, cycloalkyl, arylalkyl; R9 = H, alkyl, cycloalkyl, aryl, arylalkyl, 8-azaspiro[4.5]deca-7,9-dione-8-yl-alkyl, etc.; R12, R13 = alkyl; R12R13C = cycloalkyl; R14 = H, halo, alkyl, alkoxy; Y = CO, SO2; n = 1, 2] were prepared Thus, 1-(2-methoxyphenyl)piperazine was refluxed 18 h with atropic acid in EtOH to give α-[1-[4-(2-methoxyphenyl)piperazinyl]methyl]benzeneacetic acid. The latter in CH2Cl2 was treated with carbonyldiimidazole and then aniline to give title compound II. I bound to rot hippocampal 5-HT1A receptors with IC50's of 8-127 nM.

IT **129394-10-1**
RL: RCT (Reactant); RACT (Reactant or reagent)
(esterification or amidation of)

RN 129394-10-1 CAPLUS

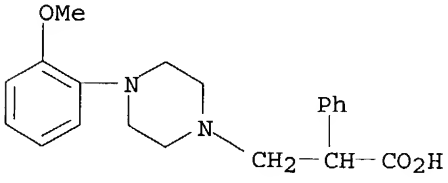
CN 1-Piperazinepropanoic acid, 4-(2-methoxyphenyl)-α-phenyl- (9CI) (CA INDEX NAME)



IT **129394-10-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as intermediate for serotoninergic antagonist)

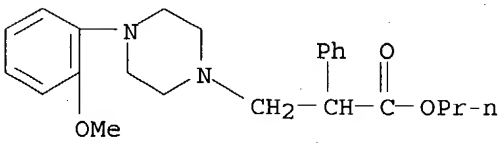
RN 129394-10-1 CAPLUS

CN 1-Piperazinepropanoic acid, 4-(2-methoxyphenyl)-α-phenyl- (9CI) (CA INDEX NAME)

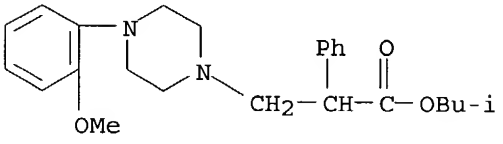


IT **132708-27-1P 132708-44-2P 132708-45-3P**
132708-57-7P 132708-68-0P 132708-89-5P
132708-90-8P 132709-05-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as serotoninergic antagonist)

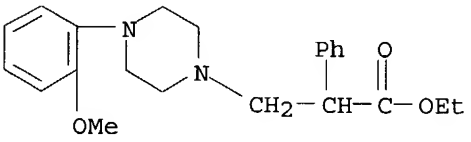
RN 132708-27-1 CAPLUS
CN 1-Piperazinepropanoic acid, 4-(2-methoxyphenyl)-α-phenyl-, propyl ester (9CI) (CA INDEX NAME)



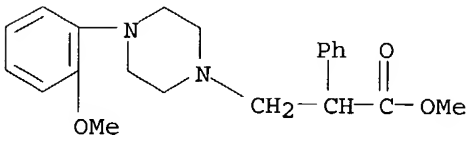
RN 132708-44-2 CAPLUS
CN 1-Piperazinepropanoic acid, 4-(2-methoxyphenyl)-α-phenyl-, 2-methylpropyl ester (9CI) (CA INDEX NAME)



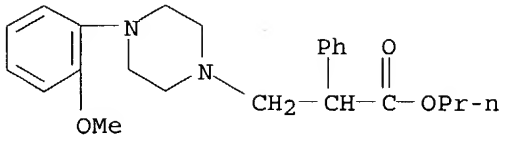
RN 132708-45-3 CAPLUS
CN 1-Piperazinepropanoic acid, 4-(2-methoxyphenyl)-α-phenyl-, ethyl ester (9CI) (CA INDEX NAME)



RN 132708-57-7 CAPLUS
CN 1-Piperazinepropanoic acid, 4-(2-methoxyphenyl)-α-phenyl-, methyl ester (9CI) (CA INDEX NAME)

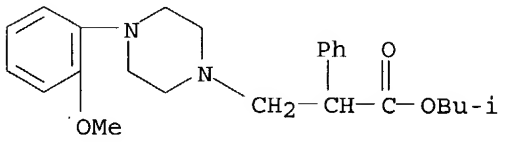


RN 132708-68-0 CAPLUS
CN 1-Piperazinepropanoic acid, 4-(2-methoxyphenyl)-α-phenyl-, propyl ester, dihydrochloride (9CI) (CA INDEX NAME)



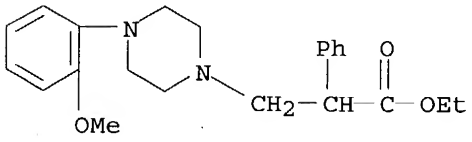
●2 HCl

RN 132708-89-5 CAPLUS
CN 1-Piperazinepropanoic acid, 4-(2-methoxyphenyl)-α-phenyl-, 2-methylpropyl ester, dihydrochloride (9CI) (CA INDEX NAME)



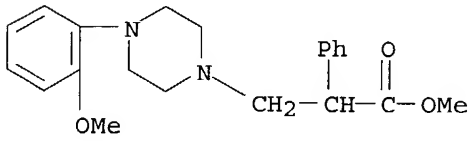
●2 HCl

RN 132708-90-8 CAPLUS
CN 1-Piperazinepropanoic acid, 4-(2-methoxyphenyl)-α-phenyl-, ethyl ester, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

RN 132709-05-8 CAPLUS
CN 1-Piperazinepropanoic acid, 4-(2-methoxyphenyl)-α-phenyl-, methyl ester, dihydrochloride (9CI) (CA INDEX NAME)

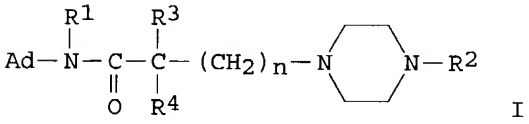


●2 HCl

L4 ANSWER 17 OF 21 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1990:532219 CAPLUS
DN 113:132219
TI Preparation of piperazinylalkylcarboxylic acid adamantylamides as anxiolytics, antidepressants, and antipsychotics
IN Abou-Gharbia, Magid A.; Yardley, John P.; Childers, Wayne E., Jr.; Cliffe, Ian A.
PA American Home Products Corp., USA; John Wyeth and Brother Ltd.
SO U.S., 4 pp. Cont.-in-part of U.S. Ser. No. 297,509, abandoned.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 4921958	A	19900501	US 1989-413407	19890927
	GB 2227018	A1	19900718	GB 1990-349	19900108
	GB 2227018	B2	19920520		
	DD 296921	A5	19911219	DD 1990-339954	19900420
	ZA 9003019	A	19911224	ZA 1990-3019	19900420
	ZA 9003020	A	19911224	ZA 1990-3020	19900420
	DD 297968	A5	19920130	DD 1990-339955	19900420
	US 5364849	A	19941115	US 1992-911996	19920710
	GB 2255976	A1	19921125	GB 1992-15425	19920720
	GB 2255976	B2	19921125		
	US 5382583	A	19950117	US 1992-998887	19921229
	US 5340812	A	19940823	US 1993-1428	19930107
	US 5420278	A	19950530	US 1994-248124	19940524
	US 5541326	A	19960730	US 1994-339000	19941114
PRAI	US 1989-297509	B2	19890113		
	GB 1989-9209	A	19890422		
	GB 1989-24323	A	19891028		
	US 1990-511150	B2	19900419		
	GB 1990-8925	A3	19900420		
	US 1991-748496	B1	19910822		
	US 1991-748497	B1	19910822		
	US 1991-756932	B1	19910909		
	US 1992-911996	A3	19920710		
	US 1992-998887	A3	19921229		

OS MARPAT 113:132219
GI



I

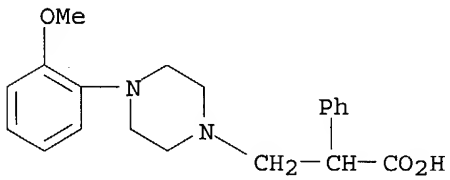
AB Title amides I [Ad = 1- or 2-adamantyl, 3-noradamantyl; n = 1-5; R1 = H, alkyl, (substituted) Ph, CH2Ph; R2 = pyridinyl, pyrimidinyl, pyrazinyl, (substituted) Ph, CH2Ph; R3, R4 = H, Me, Ph, CH2Ph] were prepared Thus, alkylation of 1-(2-methoxyphenyl)piperazine by 3-bromo-N-(1-adamantyl)propanamide in CH2Cl2 containing EtN(Me2CH)2, followed by workup, chromatog., and acidification gave I (Ad = 1-adamantyl, n = 1, R1 = R3 = R4 = H, R2 = 2-MeOC6H4) (II) as its di-HCl salt in 20% yield. II showed a 5-HT1A receptor affinity comparable to buspirone, and D2 dopaminergic

affinity sufficient for antipsychotic utility. Two addnl. I were prepared, showing 5-HT1A activity but without significant D2 activity.

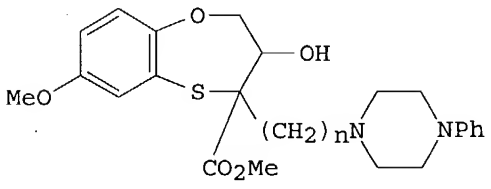
IT **129394-10-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, in preparation of anxiolytics)

RN 129394-10-1 CAPLUS

CN 1-Piperazinepropanoic acid, 4-(2-methoxyphenyl)-α-phenyl- (9CI) (CA INDEX NAME)



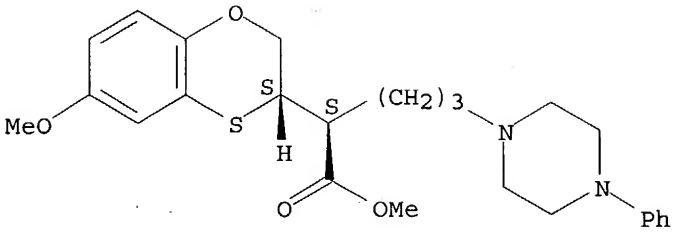
L4 ANSWER 18 OF 21 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1988:112411 CAPLUS
DN 108:112411
TI 1,5-Benzoxathiepin derivatives. II. Synthesis and serotonin S2-receptor-blocking activity of aminoalkyl-substituted 3,4-dihydro-2H-1,5-benzoxathiepin-3-ols and related compounds
AU Sugihara, Hirosada; Mabuchi, Hiroshi; Hirata, Minoru; Imamoto, Tetsuji; Kawamatsu, Yutaka
CS Cent. Res. Div., Takeda Chem. Ind., Ltd., Osaka, 532, Japan
SO Chemical & Pharmaceutical Bulletin (1987), 35(5), 1930-52
CODEN: CPBTAL; ISSN: 0009-2363
DT Journal
LA English
OS CASREACT 108:112411
GI



I

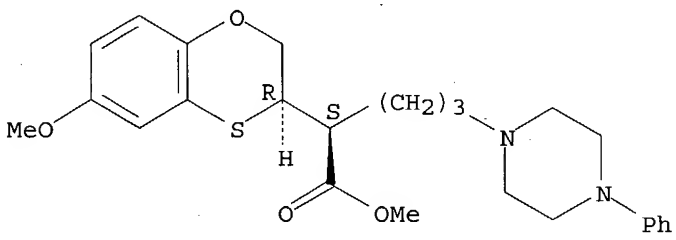
AB Novel 1,5-benzoxathiepin derivs., e.g., I (n = 3, 4, 5), with an aminoalkyl group at the 2-, 3-, 4-position, were synthesized and evaluated for serotonin S2-receptor-blocking activity and adrenergic α1-receptor-blocking activity. Me 4-aminoalkyl-3-hydroxy-3,4-dihydro-2H-1,5-benzoxathiepin-4-carboxylates showed significant S2-receptor-blocking activities. Structure-activity relationships, including the results of a conformational study and skeletal modifications, were examined In the series of 1,5-benzoxathiepin, 1-benzoxepin and 1-benzothiepin derivs., Me cis-3-hydroxy-7-methoxy-4-[3-(4-phenyl-1-piperazinyl)propyl]-3,4-dihydro-2H-1,5-benzoxathiepin-4-carboxylate hydrochloride (CV-5197) showed the most potent and the most selective S2-receptor-blocking activity in the binding profile, and was chosen as a candidate for further pharmacol. evaluation.
IT **113272-89-2P 113272-90-5P 113272-91-6P**
113272-92-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 113272-89-2 CAPLUS
CN 1-Piperazinepentanoic acid, α-(2,3-dihydro-6-methoxy-1,4-benzoxathiin-3-yl)-4-phenyl-, methyl ester, (R*,R*)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



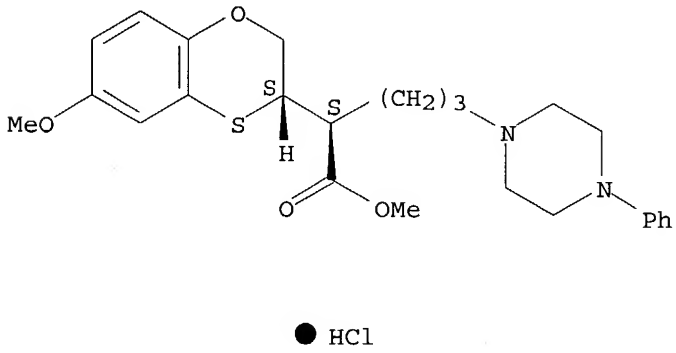
RN 113272-90-5 CAPLUS
CN 1-Piperazinepentanoic acid, α-(2,3-dihydro-6-methoxy-1,4-benzoxathiin-3-yl)-4-phenyl-, methyl ester, (R*,S*)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



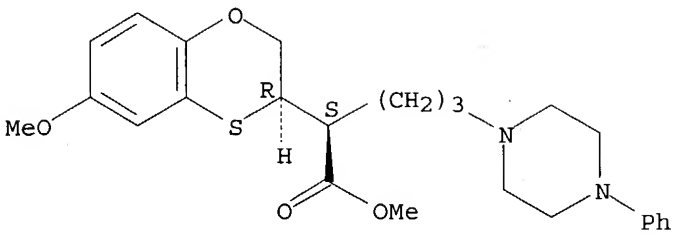
RN 113272-91-6 CAPLUS
CN 1-Piperazinepentanoic acid, α-(2,3-dihydro-6-methoxy-1,4-benzoxathiin-3-yl)-4-phenyl-, methyl ester, monohydrochloride, (R*,R*)-(9CI) (CA INDEX NAME)

Relative stereochemistry.



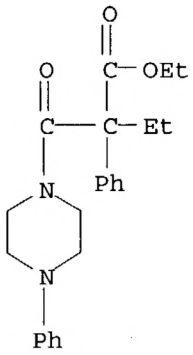
RN 113272-92-7 CAPLUS
CN 1-Piperazinepentanoic acid, α-(2,3-dihydro-6-methoxy-1,4-benzoxathiin-3-yl)-4-phenyl-, methyl ester, monohydrochloride, (R*,S*)-(9CI) (CA INDEX NAME)

Relative stereochemistry.



● HCl

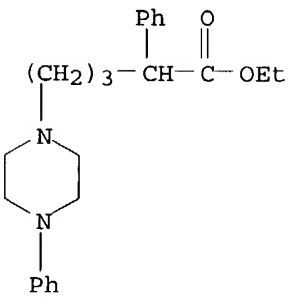
L4 ANSWER 19 OF 21 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1968:506663 CAPLUS
DN 69:106663
TI Analogs and derivatives of α-phenyl-α-ethylmalonic acid N-(2-diethylaminoethyl)amide
AU Buttini, A.; Melandri, M. M.; Galimberti, P.
CS Schelabor S.p.A., Milan, Italy
SO Bollettino Chimico Farmaceutico (1968), 107(6), 362-9
CODEN: BCFAAI; ISSN: 0006-6648
DT Journal
LA Italian
AB A number of compds. related to Fenalamide RCOCPhEtCO2Et (I) (R = NHCH2CH2NEt2) were synthesized and their pharmacol. activities tested. Thus, to a mixture of 0.1 mole PhEtC(CO2Et)COCl and 0.1 mole Na2CO3 in 150 ml. C6H6, 0.1 mole of the appropriate amine added with cooling, and the whole refluxed 4 hrs., gave the following I (R, b.p./mm., and m.p. HCl salt given): 4-methylpiperazino, 152-3°/0.2, 171-2°; 4-phenylpiperazino 205-6°/0.3, 181-3°; 4-benzylpiperazino, 206-8°/0.3, 192-4°; 4-(2-hydroxyethyl)piperazino, 198-9°/0.3,153-4°; O(CH2)2O(CH2)2NEt2 (II), 183-4°/0.8, -; and O(CH2)2NEtPh, 204-6°/0.8, -. To a solution of 0.1 mole MeONa in 200 ml. MeOH, 0.1 mole EtPhC(CO2Et)2 and 0.5 mole of the appropriate amine added and the mixture refluxed 8 hrs. gave the following EtCR1(CONHR)2 (III) (R1 = Ph) (IV) (R and b.p./mm. or m.p. given): (CH2)2NEt2 (IVa), 180-5°/0.5; (CH2)2NMe2, 180-5°/0.7; (CH2)3NEt2, 170-3°/0.3; (CH2)3NMe2, 180-5°/1; (CH2)2OH, 126-7°; (CH2)3OH, 94-5°; and (CH2)11Me, 200-4°/0.4. Similarly prepared from ZCH2CEt(CO2Et)2 (Z = piperidino) were the following III (R1 = piperidinomethyl) (R and b.p./mm. given): (CH2)2NEt2, 180-90°/0.8; (CH2)3NEt2, 185-95°/0.6; (CH2)2NMe2, 177-80°/0.3; (CH2)3NMe2, 200-10°/0.7; (CH2)2OH, 160-8°/0.8; (CH2)3OH, 200-10°/0.6; and (CH2)11Me, 200-5°/0.5. Finally, a solution of 0.1 mole EtCH(CO2Et)2, 0.11 mole paraformaldehyde, 0.1 mole pyrrolidine, and 500 ml. EtOH refluxed 6 hrs. gave QCH2CEt(CO2Et)2 (Q = pyrrolidino), b1 115-20°, which allowed to react with an appropriate amine as reported for IV, gave the following III (R1 = pyrrolidinomethyl) (R and b.p./mm. given): (CH2)2NEt2, 204-10°/0.9; and (CH2)3NEt2, 200-10°/0.8. II exhibited a high anticholinergic activity in vitro; IVa exhibited at 50 mg./kg. i.p. or at 180 mg./kg. per os a remarkable antitussive activity in rats.
IT **20389-21-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 20389-21-3 CAPLUS
CN 1-Piperazinepropionic acid, α-ethyl-β-oxo-α,4-diphenyl-, ethyl ester (8CI) (CA INDEX NAME)



L4 ANSWER 20 OF 21 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1965:91011 CAPLUS
DN 62:91011
OREF 62:16272b-d
TI 1-(4-Aryl-5-hydroxypentyl)4-arylpiperazines
PA UCB (Union Chimique-Chemische Bedrijven), Societe Anon.
SO 7 pp.
DT Patent
LA Unavailable
FAN.CNT 1

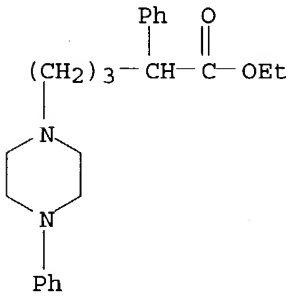
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI BE 642084		19640703	BE	
PRAI GB		19630114		

GI For diagram(s), see printed CA Issue.
AB Compds. of the general formula I are prepared and can be used in the treatment of neurotic disorders. Thus, a mixture of 10 ml. H2O, 80 ml. H2SO4 (d. 1.83), and 39.2 g. 1-(4-phenyl-4-cyanobutyl)-4-phenylpiperazine-2HCl is heated 3 hrs. at 120°, 1 kg. EtOH is added dropwise as the H2O is distilled, and the mixture is cooled and made alkaline with NaOH. The mixture is extracted with 250 ml. C6H6, the extract is concentrated, and the residue is treated with HCl(EtOH) to give 1-(4-phenyl-4-carbethoxybutyl)-4-phenylpiperazine-2HCl (II), m. 197-9°. II in H2O is treated with 50 ml. 40% NaOH, the mixture is extracted with C6H6, the extract is evaporated to dryness, the residue is dissolved in 100 ml. ether, and a mixture of the solution and 1.5 g. LiAlH4 in 125 ml. ether is refluxed 6 hrs. to give 13.5 g. 1-(4-phenyl-5-hydroxypentyl)-4-piperazine, m. 85-6° (ether). Also prepared are the following I (R, X, and m.p. 2HCl salt given): Me, MeO, 186-7° (Me2CO); H, MeO, 180° (alc.-ether). Also prepared are p-MeC6H4CH(CO2H)(CH2)3Cl (m. 75-6°) and p-MeC6H4CH(CH2OH)(CH2)3Cl.
IT **2870-53-3**, 1-Piperazinevaleric acid, α,4-diphenyl-, ethyl ester, dihydrochloride
(preparation of)
RN 2870-53-3 CAPLUS
CN Valeric acid, 2-phenyl-5-(4-phenyl-1-piperazinyl)-, ethyl ester, dihydrochloride (8CI) (CA INDEX NAME)



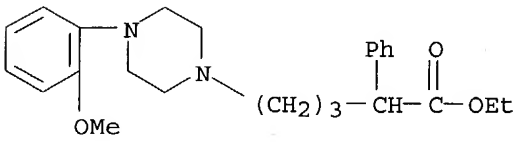
●2 HCl

L4 ANSWER 21 OF 21 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1963:448345 CAPLUS
DN 59:48345
OREF 59:8732a-c
TI New derivatives of N, N'-disubstituted piperazine having neurotropic properties
AU Morren, H.; Zivkovic, D.; Linz, R.; Strubbe, H.; Marchal, L.
CS Union Chim.-Chem. Bedrijven, Brussels
SO Industrie Chimique Belge (1963), 28, 123-34
CODEN: ICBEAJ; ISSN: 0019-9052
DT Journal
LA Unavailable
GI For diagram(s), see printed CA Issue.
AB Hydrochlorides of I were prepared by classical methods. R was H, lower alkyl, OMe, halogen in o, m, or p; R1 was H, Me, OMe, Cl, CF3 in o, m, or p; R2 was H, CN, CONH2, CONMe2, CO2Et, COMe, COEt, COPr, CH2NH2, CH2OH; and Z was (CH2)2-4, CH2CHMeCH2, CHMeCH2. The maximum neurotropic activity was found for I [R2 = CN, Z = (CH2)3] where R = halogen, Me, or MeO in para position and R1 = halogen, Me, or MeO in ortho position.
IT **2870-53-3**, 1-Piperazinevaleric acid, α,4-diphenyl-, ethyl ester, dihydrochloride **96457-75-9**, 1-Piperazinevaleric acid, 4-(o-methoxyphenyl)-α-phenyl-, ethyl ester, dihydrochloride
(preparation of)
RN 2870-53-3 CAPLUS
CN Valeric acid, 2-phenyl-5-(4-phenyl-1-piperazinyl)-, ethyl ester, dihydrochloride (8CI) (CA INDEX NAME)



●2 HCl

RN 96457-75-9 CAPLUS
CN 1-Piperazinevaleric acid, 4-(o-methoxyphenyl)-α-phenyl-, ethyl ester, dihydrochloride (7CI) (CA INDEX NAME)



●2 HCl

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                                                      ENTRY    SESSION
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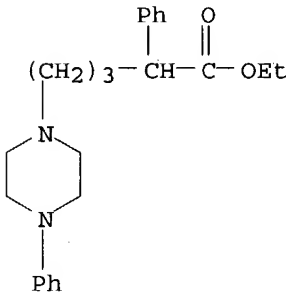
L5 ANSWER 1 OF 2 CAOLD COPYRIGHT 2004 ACS on STN
AN CA62:16272b CAOLD
TI 1-(4-aryl-5-hydroxypentyl)-4-arylpiperazines
PA UCB (Union Chimique-Chemische Bedrijven), S.A.
DT Patent

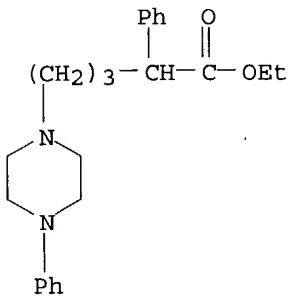
PATENT NO.	KIND	DATE
BE 642084		

IT **2870-53-3**
RN 2870-53-3 CAOLD
CN Valeric acid, 2-phenyl-5-(4-phenyl-1-piperazinyl)-, ethyl ester, dihydrochloride (8CI) (CA INDEX NAME)



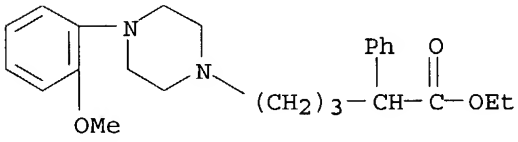
●2 HCl

L5 ANSWER 2 OF 2 CAOLD COPYRIGHT 2004 ACS on STN
AN CA59:8732a CAOLD
TI derivs. of N,N'-disubstituted piperazine having neurotropic properties
AU Morren, Henri; Zivkovic, D.; Linz, R.; Strubbe, H.; Marchal, L.
IT **2870-53-3 96457-75-9**
RN 2870-53-3 CAOLD
CN Valeric acid, 2-phenyl-5-(4-phenyl-1-piperazinyl)-, ethyl ester, dihydrochloride (8CI) (CA INDEX NAME)



● 2 HCl

RN 96457-75-9 CAOLD
CN 1-Piperazinevaleric acid, 4-(o-methoxyphenyl)-α-phenyl-, ethyl ester, dihydrochloride (7CI) (CA INDEX NAME)



● 2 HCl